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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database
NEWS	20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS	21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS	22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS	23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS	24	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

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FILE 'HOME' ENTERED AT 12:18:56 ON 03 MAR 2010

=> file reg

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FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 1 MAR 2010 HIGHEST RN 1207596-35-7
DICTIONARY FILE UPDATES: 1 MAR 2010 HIGHEST RN 1207596-35-7

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http://www.cas.org/support/stngen/stndoc/properties.html

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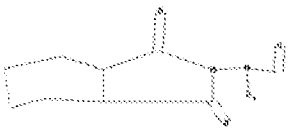
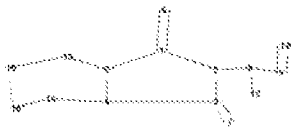
Uploading C:\Program Files\STNEXP\Queries\10561153 broad updated.str





chain nodes :
6 7 8 9 10 12
ring nodes :
1 2 3 4 5 13 14 15 16
chain bonds :
1-6 2-8 3-7 8-9 8-12 9-10
ring bonds :
1-2 1-5 2-3 3-4 4-5 4-14 5-13 13-15 14-16 15-16
exact/norm bonds :
1-2 1-5 1-6 2-3 2-8 3-4 3-7 4-5 4-14 5-13 8-9 8-12 9-10 13-15 14-16
15-16

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS
12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

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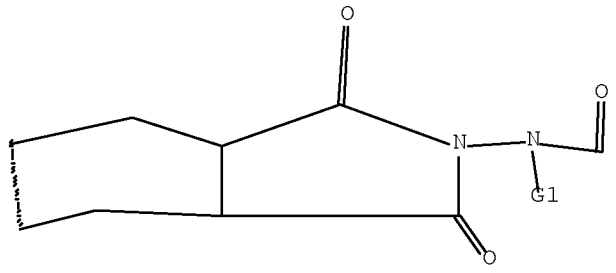
L1 HAS NO ANSWERS
L1 STR



G1 H,Ak

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 12:19:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4994 TO ITERATE

100.0% PROCESSED     4994 ITERATIONS                          3243 ANSWERS
SEARCH TIME: 00.00.01

L2         3243 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     191.54     191.76

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FILE COVERS 1907 - 3 Mar 2010  VOL 152 ISS 10
FILE LAST UPDATED: 2 Mar 2010  (20100302/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Dec 2009

CAplus now includes complete International Patent Classification (IPC)
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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s L2 SSS full
L3         479 L2

=> s L3 AND (vir?)
        739624 VIR?
L4          41 L3 AND (VIR?)

=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       4.31     196.07
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 26, 2010 (20100226/UP).

=> s L3 AND (orthopox)
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms.  L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles.  The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> d his

     (FILE 'HOME' ENTERED AT 12:18:56 ON 03 MAR 2010)

     FILE 'REGISTRY' ENTERED AT 12:19:02 ON 03 MAR 2010
L1             STRUCTURE UPLOADED
L2          3243 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:19:26 ON 03 MAR 2010
L3           479 S L2 SSS FULL
L4            41 S L3 AND (VIR?)

     FILE 'STNGUIDE' ENTERED AT 12:22:01 ON 03 MAR 2010

=> s L4
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms.  L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles.  The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.14     196.21

FILE 'CAPLUS' ENTERED AT 12:23:27 ON 03 MAR 2010
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FILE COVERS 1907 - 3 Mar 2010 VOL 152 ISS 10
FILE LAST UPDATED: 2 Mar 2010 (20100302/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 12:18:56 ON 03 MAR 2010)

     FILE 'REGISTRY' ENTERED AT 12:19:02 ON 03 MAR 2010
L1   STRUCTURE UPLOADED
L2   3243 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:19:26 ON 03 MAR 2010
L3   479 S L2 SSS FULL
L4   41 S L3 AND (VIR?)

     FILE 'STNGUIDE' ENTERED AT 12:22:01 ON 03 MAR 2010

     FILE 'CAPLUS' ENTERED AT 12:23:27 ON 03 MAR 2010

=> s L4
        739624 VIR?
L5   41 L3 AND (VIR?)

=> s L3 AND orthopox
           137 ORTHOPOX
L6   0 L3 AND ORTHOPOX

=> s L3 AND (orthopox?)
           799 ORTHOPOX?
L7   19 L3 AND (ORTHOPOX?)

=> s L7 NOT L5
L8   0 L7 NOT L5

=> s L5
        739624 VIR?
L9   41 L3 AND (VIR?)

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 41 ANSWERS - CONTINUE? Y/(N):y

L9   ANSWER 1 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1593159 CAPLUS Full-text
DOCUMENT NUMBER: 152:160132
TITLE: ST-246 inhibits in vivo poxvirus dissemination,
                         virus shedding, and systemic disease
                         manifestation

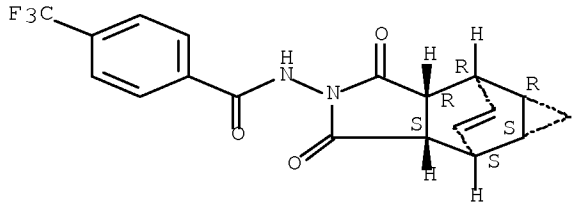
AUTHOR(S): Berhanu, Aklile; King, David S.; Mosier, Stacie; Jordan, Robert; Jones, Kevin F.; Hruby, Dennis E.; Grosenbach, Douglas W.
CORPORATE SOURCE: SIGA Technologies, Inc., Corvallis, OR, 97333, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2009), 53(12), 4999-5009
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Orthopoxvirus infections, such as smallpox, can lead to severe systemic disease and result in considerable morbidity and mortality in immunol. naive individuals. Treatment with ST-246, a small-mol. inhibitor of virus egress, has been shown to provide protection against severe disease and death induced by several members of the poxvirus family, including vaccinia, variola, and monkeypox viruses. Here, we show that ST-246 treatment not only results in the significant inhibition of vaccinia virus dissemination from the site of inoculation to distal organs, such as the spleen and liver, but also reduces the viral load in organs targeted by the dissemination. In mice intranasally infected with vaccinia virus, virus shedding from the nasal and lung mucosa was significantly lower (.apprx.22- and 528-fold, resp.) upon ST-246 treatment. Consequently, virus dissemination from the nasal site of replication to the lung also was dramatically reduced, as evidenced by a 179-fold difference in virus levels in nasal vs. bronchoalveolar lavage. Furthermore, in ACAM2000-immunized mice, vaccination site swabs showed that ST-246 treatment results in a major (.apprx.3900-fold by day 21) reduction in virus detected at the outside surfaces of lesions. Taken together, these data suggest that ST-246 would play a dual protective role if used during a smallpox bioterrorist attack. First, ST-246 would provide therapeutic benefit by reducing the disease burden and lethality in infected individuals. Second, by reducing virus shedding from those prophylactically immunized with a smallpox vaccine or harboring variola virus infection, ST-246 could reduce the risk of virus transmission to susceptible contacts.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 inhibits in vivo poxvirus dissemination, virus shedding, and systemic disease manifestation)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1433039 CAPLUS Full-text
DOCUMENT NUMBER: 151:565110
TITLE: Oncolytic viruses for preventing and treating neoplasms accompanying cellular therapy
INVENTOR(S): Szalay, Aladar A.
PATENT ASSIGNEE(S): Genelux Corporation, USA
SOURCE: PCT Int. Appl., 159pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009139921	A2	20091119	WO 2009-US3061	20090515

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

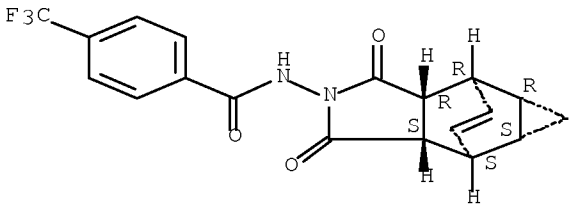
PRIORITY APPLN. INFO.: US 2008-54025P P 20080516

AB Cell compns. that are administered to a subject in cell therapy protocols have the potential to result in the formation of a tumor, insofar as the cell composition can contain neoplastic cells or neoplastic progenitor cells. Provided are methods for using cellular compns. in combination with oncolytic viruses. The methods include administering oncolytic viruses for the inhibition and treatment of tumors caused by administration of cellular therapies, such as stem cell therapies. The methods also include contacting cellular compns. with oncolytic viruses for the removal of neoplastic cells prior to administration of the cellular composition for therapy. Exemplary vaccinia virus for use in the methods provided include those derived from vaccinia virus strain GLV-1h68 (also named RVGL21), which contains DNA insertions in the gene loci of the vaccinia virus LIVP strain, a vaccinia virus strain originally derived by adapting the Lister strain to calf skin. Exemplary viruses are generated by replacement of one or more expression cassettes of the GLV-1h68 strain with heterologous DNA encoding gene products for therapy and/or imaging. Diagnostic methods for monitoring treatment also are provided.

IT 869572-92-9, ST-246
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiviral agent; oncolytic viruses for preventing and treating neoplasms accompanying cellular therapy)

RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



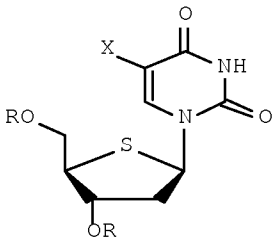
L9 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1139413 CAPLUS Full-text
DOCUMENT NUMBER: 151:373902
TITLE: 4'-Thio-2'-deoxynucleosides as orthopoxvirus inhibitors and for treatment of orthopoxvirus infection
INVENTOR(S): Secrit, John A.; Tiwari, Kamal N.; Maddry, Joseph A.
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 19pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009114651	A2	20090917	WO 2009-US36869	20090312

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-47197 A 20080312
OTHER SOURCE(S): MARPAT 151:373902
GI



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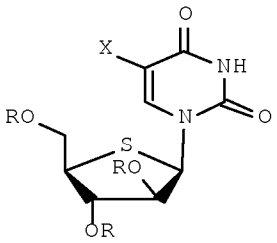


II

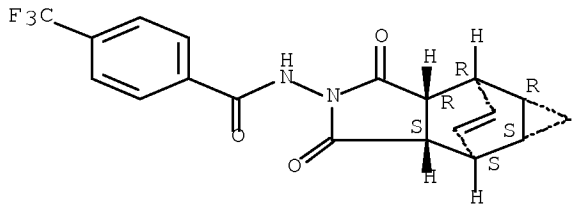
AB The invention discloses compds. I and II (R = H, aliphatic, acyl, aromatic acyl; X = H, fluoro, chloro, bromo, iodo, alkoxy, alkyl, etc.), and pharmaceutically acceptable salts, prodrugs, and mixts. thereof as inhibitors of orthopoxviruses and for treating patients suffering from an orthopoxvirus infection, such as, but not limited to, smallpox, cowpox, monkeypox and camelpox. A reaction scheme for 5-iodo-4'-thio-2'-deoxyuridine is presented, as are data for the biol. activity of this compound

IT 869572-92-9, ST-246
RL: BSU (Biological study, unclassified); PRPH (Prophetic); BIOL (Biological study)
(4'-Thio-2'-deoxynucleosides as orthopoxvirus inhibitors and for treatment of orthopoxvirus infection)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:875226 CAPLUS Full-text

DOCUMENT NUMBER: 151:328025

TITLE: Antiviral evaluation of N-amino-1,2,3-triazoles against Cantagalo virus replication in cell culture

AUTHOR(S): Jordao, Alessandro K.; Afonso, Priscila P.; Ferreira, Vitor F.; de Souza, Maria C. B. V.; Almeida, Maria C. B.; Beltrame, Cristiana O.; Paiva, Daniel P.; Wardell, Solange M. S. V.; Wardell, James L.; Tiekink, Edward R. T.; Damaso, Clarissa R.; Cunha, Anna C.

CORPORATE SOURCE: Departamento de Quimica Organica, Instituto de Quiimica, Universidade Federal Fluminense, Niteroi, 24020-141, Brazil

SOURCE: European Journal of Medicinal Chemistry (2009), 44(9), 3777-3783
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier Masson SAS

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:328025

AB This paper describes the antiviral evaluation of new N-amino-1,2,3-triazole derivs., 1-(substituted-phenylamino)-5-methyl-1H- [1,2,3]-triazole-4-carboxylic acid Et esters, 3 and 1-(4-substituted-phenylamino)-5-methyl-1H-[1,2,3]-triazole-4-carboxylic acid hydrazides, 4, on Cantagalo virus

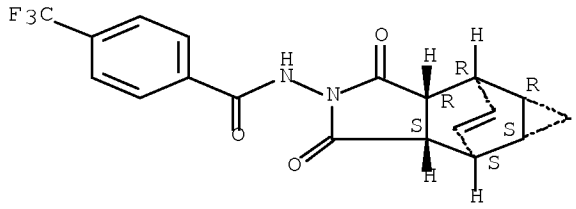
replication. 1-(4-Fluoro-phenylamino)-5-methyl-1H-[1,2,3]-triazole-4-carboxylic acid hydrazide, 4e, exhibited a significant antiviral effect. Characterization of all compds. was confirmed by IR, 1H and 13C spectroscopies and elemental anal. In addition, mol. structure of 4e was also reported.

IT 869572-92-9P, St-246
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiviral evaluation of amino-triazoles against Cantagalo virus)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:842184 CAPLUS Full-text

DOCUMENT NUMBER: 152:135339

TITLE: Another ten stories in antiviral drug discovery (part C): "old" and "new" antivirals, strategies, and perspectives

AUTHOR(S): De Clerq, Erik

CORPORATE SOURCE: Rega Institute for Medical Research, K.U. Leuven, Louvain, B-3000, Belg.

SOURCE: Medicinal Research Reviews (2009), 29(4), 611-645
CODEN: MRREDD; ISSN: 0198-6325

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The ten stories told here deal with (i) ribavirin as an inhibitor of IMP dehydrogenase and (ii) ribavirin, in combination with pegylated interferon, as the present "standard of care" for hepatitis C; (iii) S-adenosylhomocysteine hydrolase inhibitors as antiviral agents; (iv) new adamantadine derivs. for the treatment of influenza A virus infections; (v) 5-substituted 2'-deoxyuridines (i.e. IDU, TFT) for the treatment of herpes simplex virus (HSV) infections; (vi) acyclic guanosine analogs (e.g. acyclovir) for the treatment of HSV infections; (vii) OMP decarboxylase inhibitors (i.e. pyrazofurin) and CTP synthetase inhibitors (i.e. cyclopentenylcytosine) as possible antiviral agents; (viii) the future of cidofovir (and alkoxyalkyl esters thereof) and ST-246 as potential antipoxvirus agents; (ix) the two decade journey from tivirapine to rilpivirine in the ultimate therapy of HIV infections; and (x) the extension

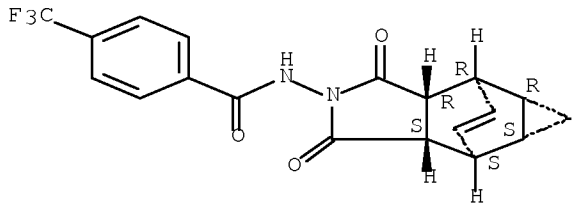
of the therapeutic application of tenofovir disoproxil fumarate (Viread) to the treatment of hepatitis B virus infection, in addition to HIV infection.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 was discovered which may be useful as potential antipoxvirus agent)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 207 THERE ARE 207 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:804151 CAPLUS Full-text

DOCUMENT NUMBER: 152:89376

TITLE: Antiviral targets in orthopoxviruses

AUTHOR(S): Prichard, Mark N.; Kern, Earl R.

CORPORATE SOURCE: Department of Pediatrics, University of Alabama at Birmingham, Birmingham, AL, 35233-1711, USA

SOURCE: Antiviral Research (2009), 167-186. Editor(s): LaFemina, Robert L. American Society for Microbiology: Washington, D. C.
CODEN: 69LQTN; ISBN: 978-1-55581-439-7

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

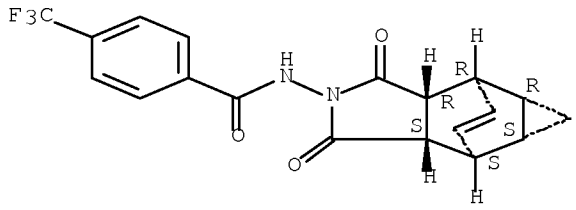
AB A review discusses the many targets for orthopoxviruses that might be exploited in the discovery of addnl. agents for these potential diseases, the viral proteins that perform critical functions, and specific inhibitors that affect these processes.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug inhibiting enzymic reaction or viral replication such as CMX001, ST-246 and cidofovir showed good bioavailability and may be effective in treatment of patient infected with orthopoxvirus)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 276 THERE ARE 276 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

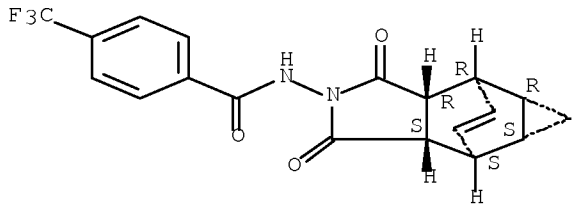
L9 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:715719 CAPLUS Full-text
DOCUMENT NUMBER: 151:189165
TITLE: Nonhuman primates are protected from smallpox virus or monkeypox virus challenges by the antiviral drug ST-246
AUTHOR(S): Huggins, John; Goff, Arthur; Hensley, Lisa; Mucker, Eric; Shamblin, Josh; Wlazlowski, Carly; Johnson, Wendy; Chapman, Jennifer; Larsen, Tom; Twenhafel, Nancy; Karem, Kevin; Damon, Inger K.; Byrd, Chelsea M.; Bolken, Tove' C.; Jordan, Robert; Hruby, Dennis
CORPORATE SOURCE: U.S. Army Medical Research Institute of Infectious Diseases, Frederick, MD, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2009), 53(6), 2620-2625
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB ST-246, a potent orthopoxvirus egress inhibitor, is safe and effective at preventing disease and death in studies of small-animal models involving challenge by several different pathogenic poxviruses. In this report, the antiviral efficacy of ST-246 in treatment of nonhuman primates infected with variola virus or monkeypox virus was assessed. The data indicate that oral dosing once per day with ST-246 protects animals from poxvirus disease, as measured by redns. in viral load and nos. of lesions and enhancement of survival.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 protection of nonhuman primates from smallpox or monkeypox virus challenges)

RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:693982 CAPLUS Full-text
DOCUMENT NUMBER: 151:77906
TITLE: Preparation of crystalline St-246 monohydrate as poxvirus inhibitors
INVENTOR(S): Dai, Qiuyun; Dong, Mingxin; Hu, Jie
PATENT ASSIGNEE(S): Research Institute of Bioengineering, Academy of Military Medical Sciences, The Chinese People's Liberation Army, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 18pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101445478	A	20090603	CN 2008-10118686	20080822
PRIORITY APPLN. INFO.:			CN 2008-10118686	20080822

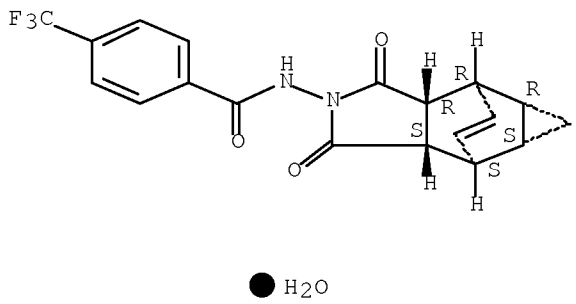
OTHER SOURCE(S): CASREACT 151:77906

AB The invention relates to ST-246·H2O compound ST-246·H2O compound can be prepared by reacting 3a,4,4a,5,5a,6-hexahydro-4,6-etheno-1H-cycloprop[f]isobenzofuran-1,3(3aH)-dione (preparation given), with p-trifluoromethylbenzoic acid hydrazide in the presence of organic base and organic solvent under nitrogen protection and refluxing. Organic base is diisopropylethylamine. Organic solvent is anhydrous ethanol or isopropanol. The invention also relates to ST-246·H2O monoclinic system which has the following characteristics: space group: C2/c; lattice parameters: a=28.724(2), b=10.533(1), c=12.902(a) angstrom, β=112.18(1)°; cell volume: V=3614.7(6) angstrom3; intracellular mol. number Z=8. ST-246·H2O monoclinic crystals can be prepared by refluxing ST-246 in organic solvent under heating, adding warm water, cooling at 0-4° for 1-8 h, filtrating, washing, drying at 45-70° for 4-48 h, wherein organic solvent is isopropanol, Et acetate or 90-100% ethanol solution The invention further relates to anti-variola virus drugs containing ST-246·H2O as active ingredient. The inventive ST-246·H2O compound has advantages of good stability, no hygroscopic effect, no caking after micronization and high bioavailability.

IT 1162664-19-8P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure, drug candidate; preparation of crystalline St-246

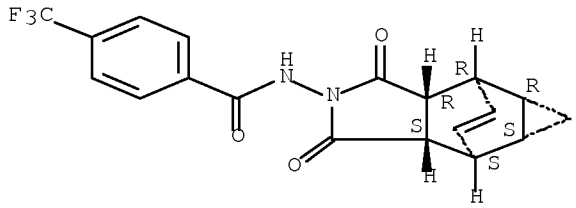
monohydrate
as poxvirus inhibitors)
RN 1162664-19-8 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, hydrate (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.



IT 869572-92-9P, St-246
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of crystalline St-246 monohydrate as poxvirus inhibitors)
RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:585358 CAPLUS Full-text
DOCUMENT NUMBER: 151:115681
TITLE: ST-246 antiviral efficacy in a nonhuman primate monkeypox model: determination of the minimal effective dose and human dose justification
AUTHOR(S): Jordan, Robert; Goff, Arthur; Frimm, Annie; Corrado, Michael L.; Hensley, Lisa E.; Byrd, Chelsea M.; Mucker, Eric; Shamblin, Josh; Bolken, Tove' C.; Wlazlowski, Carly; Johnson, Wendy; Chapman, Jennifer; Twenhafel, Nancy; Tyavanagimatt, Shanthakumar;

Amantana, Adams; Chinsangaram, Jarasvech; Hruby, Dennis E.; Huggins, John

CORPORATE SOURCE: SIGA Technologies, Corvallis, OR, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2009), 53(5), 1817-1822
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

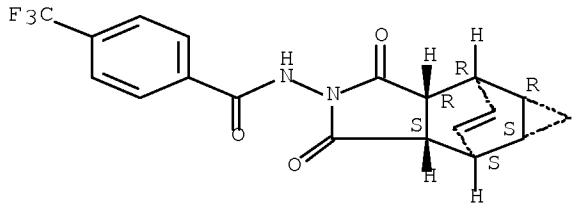
AB Therapeutics for the treatment of pathogenic orthopoxvirus infections are being sought. In the absence of patients with disease, animal models of orthopoxvirus disease are essential for evaluation of the efficacies of antiviral drugs and establishment of the appropriate dose and duration of human therapy. Infection of nonhuman primates (NHP) by the i.v. injection of monkeypox virus has been used to evaluate a promising therapeutic drug candidate, ST-246. ST-246 administered at 3 days postinfection (which corresponds to the secondary viremia stage of disease) at four different doses (from 100 mg/kg of body weight down to 3 mg/kg) once a day for 14 days was able to offer NHP 100% protection from a lethal infection with monkeypox virus and reduce the viral load and lesion formation. In NHP, the administration of ST-246 at a dose of 10 mg/kg/day for 14 days resulted in levels of blood exposure comparable to the levels attained in humans administered 400 mg in the fed state. These results suggest that administration of an oral dosage of 400 mg once daily for 14 days will be effective for the prevention or treatment of smallpox or monkeypox infections in humans.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 antiviral efficacy in nonhuman primate monkeypox model)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:299685 CAPLUS Full-text

DOCUMENT NUMBER: 150:530404

TITLE: In vitro efficacy of ST246 against smallpox and monkeypox

AUTHOR(S): Smith, Scott K.; Olson, Victoria A.; Karem, Kevin L.; Jordan, Robert; Hruby, Dennis E.; Damon, Inger K.

CORPORATE SOURCE: Poxvirus Team, Poxvirus and Rabies Branch, Division of Viral and Rickettsial Diseases, Centers for Disease Control and Prevention, National Center for Zoonotic, Viral, and Enteric Diseases, Atlanta, GA, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2009), 53(3), 1007-1012
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

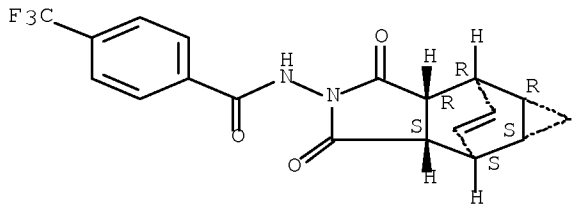
AB Since the eradication of smallpox and the cessation of routine childhood vaccination for smallpox, the proportion of the world's population susceptible to infection with orthopoxviruses, such as variola virus (the causative agent of smallpox) and monkeypox virus, has grown substantially. In the United States, the only vaccines for smallpox licensed by the Food and Drug Administration (FDA) have been live virus vaccines. Unfortunately, a substantial number of people cannot receive live virus vaccines due to contraindications. Furthermore, no antiviral drugs have been fully approved by the FDA for the prevention or treatment of orthopoxvirus infection. Here, we show the inhibitory effect of one new antiviral compound, ST-246, on the in vitro growth properties of six variola virus strains and seven monkeypox virus strains. We performed multiple assays to monitor the cytopathic effect and to evaluate the reduction of viral progeny production and release in the presence of the compound ST-246 had 50% effective concns. of ≤0.067 μM against variola virus and <0.04 μM against monkeypox virus. In a dose-dependent manner, plaque size and comet tail formation were markedly reduced in the presence of the drug at low, noncytotoxic concns. between 0.015 and 0.05 μM. Our in vitro phenotype data suggest that ST-246 inhibits variola and monkeypox viruses similarly by reducing the production and release of enveloped orthopoxvirus and support the development of ST-246 as an antiviral therapeutic compound for the treatment of severe systemic orthopoxvirus infections.

IT 869572-92-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro efficacy of ST246 against smallpox and monkeypox)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 41 CAPLUS

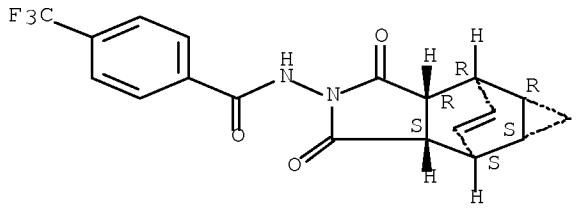
ACCESSION NUMBER: 2009:186683 CAPLUS Full-text
DOCUMENT NUMBER: 151:115658
TITLE: Specific targeting of the F13L protein by ST-246 affects orthopoxvirus production differently
AUTHOR(S): Duraffour, Sophie; Vigne, Solenne; Vermeire, Kurt; Garcel, Aude; Vanstreels, Els; Daelemans, Dirk; Yang, Guang; Jordan, Robert; Hruby, Dennis E.; Crance, Jean-Marc; Garin, Daniel; Andrei, Graciela; Snoeck, Robert
CORPORATE SOURCE: Rega Institute for Medical Research, Louvain, Belg.
SOURCE: Antiviral Therapy (2008), 13(8), 977-990
CODEN: ANTHFA; ISSN: 1359-6535
PUBLISHER: International Medical Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB ST-246 is a potent anti-orthopoxviral mol. targeting the F13L protein of vaccinia virus, which is involved in the wrapping of viruses. The discrepancy in sensitivities of several orthopoxviruses to ST-246 has raised questions about potential differences in their replicative cycles and/or the presence of another drug target. D. gradients were used to evaluate the differences between the viral cycles of vaccinia, cowpox and camelpox viruses. Also, to investigate if ST-246 inhibits a single target, we compared its activity to that of small interfering RNAs designed to silence the F13L gene (siF13Ls). We showed that the spread of vaccinia virus involved both intracellular and extracellular enveloped viruses, whereas both cowpox and camelpox viruses seemed to propagate via non-enveloped intracellular forms and cell-associated viral particles. Although ST-246 exerted a clear antiviral activity by interfering with the egress of the virus from infected cells, we observed that cowpox and camelpox viruses, in contrast to vaccinia virus, could be directed towards a lytic cycle under ST-246 treatment. We specifically knocked down the F13L transcripts of vaccinia and camelpox viruses by >85%, reduced virus progeny by 90% and showed that siF13Ls affect camelpox and vaccinia virus propagation differently. Flow cytometry data validated that ST-246 interfered with the activity of the F13L protein, whereas siF13Ls silenced the F13L gene. Our observations support that vaccinia, cowpox and camelpox viruses exhibit different levels of sensitivity to ST-246 because of dissimilarities between their ways of propagation, and provide a better understanding of the mode of action of ST-246.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 specifically targeting F13L protein differently affected vaccinia, cowpox and camelpox virus production due to dissimilarities between ways of propagation by orthopoxviruses in human embryonic lung fibroblast)

RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

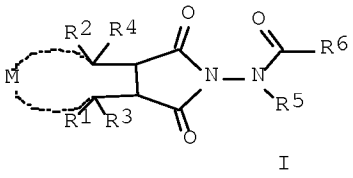
L9 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1300510 CAPLUS Full-text
DOCUMENT NUMBER: 149:513685
TITLE: Preparation of isoindole derivatives for treatment and prevention of orthopoxvirus infections
INVENTOR(S): Jordan, Robert; Bailey, Thomas R.; Rippin, Susan R.; Dai, Dongcheng
PATENT ASSIGNEE(S): Siga Technologies, Inc., USA
SOURCE: PCT Int. Appl., 82pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008130348	A1	20081030	WO 2007-US9751	20070423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070287735	A1	20071213	US 2007-785998	20070423
CA 2685198	A1	20080703	CA 2007-2685198	20070423
AU 2007351866	A1	20081030	AU 2007-351866	20070423
CA 2685153	A1	20081030	CA 2007-2685153	20070423
EP 2148860	A1	20100203	EP 2007-755857	20070423
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.:		
US 2007-785998	A	20070423
US 2003-480182P	P	20030620
WO 2004-US19552	W	20040618
US 2006-561153	A2	20060405
WO 2007-US9750	W	20070423
WO 2007-US9751	W	20070423

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:513685
GI



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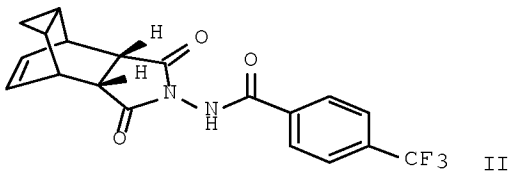


II

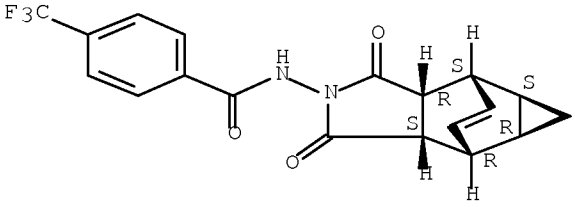
AB The title compds. with general formula I [wherein R1, R2, and R5 = independently H or alkyl; R3 and R4 = independently H, alkyl, or R3 and R4 together with the carbons to which they are attached form an (un)substituted cyclic structure; R6 = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; M = (un)substituted -CH2CH2- or -CH=CH-] or pharmaceutically acceptable salts thereof were prepared for the treatment or prophylaxis of viral infections and diseases associated therewith, particularly those viral infections and associated diseases cased by the orthopoxvirus. For example, compound II was prepared in a multi-step synthesis. II exhibited inhibitory activity against vaccinia virus-induced CPE with EC50 value of ≤ 0.5 μM. Formulations containing II as an active ingredient were also disclosed in the invention.

IT 959923-19-4P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

RN 959923-19-4 CAPLUS

CN Benzamide, N-[(3aR,4S,4aS,5aR,6R,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

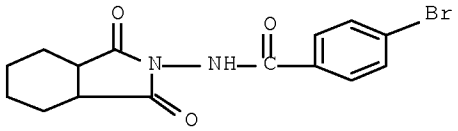
Relative stereochemistry.



IT 816458-39-6P 935765-96-1P 935765-99-4P
935766-00-0P 935766-01-1P 935766-02-2P
935766-03-3P 935766-04-4P 935766-05-5P
935766-06-6P 935766-07-7P 935766-09-9P
945962-36-7P 959922-75-9P 959922-76-0P
959922-77-1P 959922-79-3P 959922-82-8P
959922-85-1P 959922-88-4P 959922-95-3P
959922-98-6P 959923-00-3P 959923-03-6P

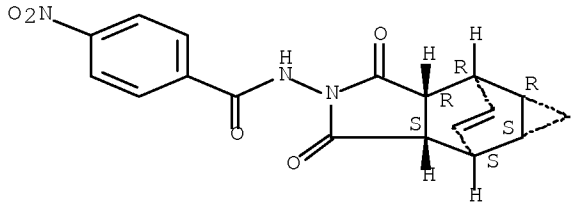
959923-05-8P 959923-06-9P 959923-07-0P
959923-08-1P 959923-09-2P 959923-10-5P
959923-11-6P 959923-12-7P 959923-13-8P
959923-14-9P 959923-15-0P 959923-16-1P
959923-17-2P 959923-18-3P 959923-20-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

RN 816458-39-6 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



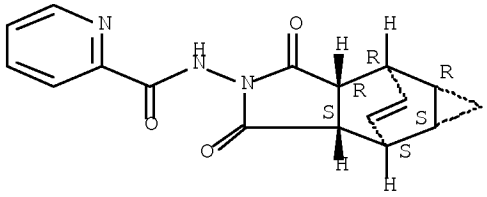
RN 935765-96-1 CAPLUS
CN Benzamide, 4-nitro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



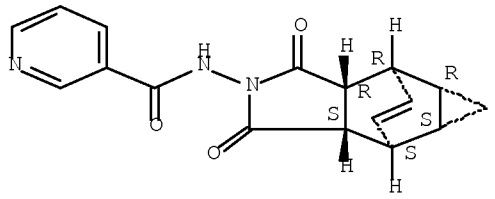
RN 935765-99-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



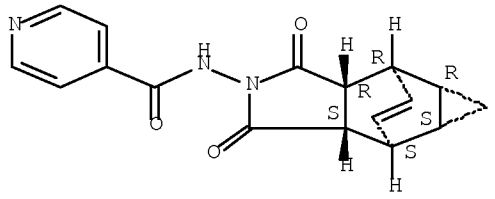
RN 935766-00-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



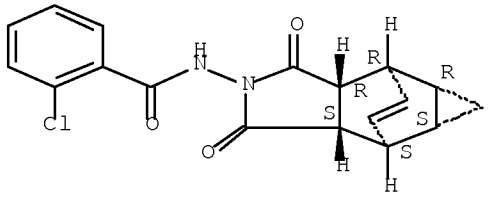
RN 935766-01-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 935766-02-2 CAPLUS
CN Benzamide, 2-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

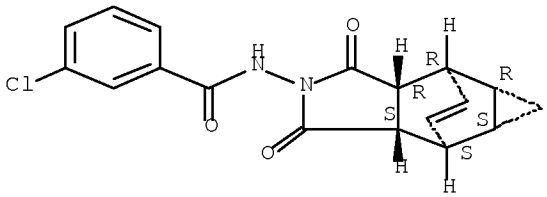
Relative stereochemistry.



RN 935766-03-3 CAPLUS
CN Benzamide, 3-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA

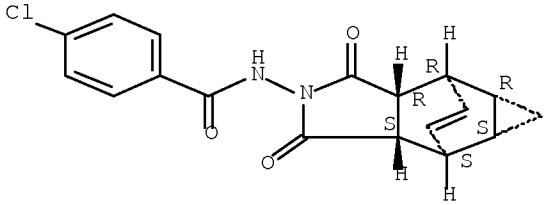
INDEX NAME)

Relative stereochemistry.



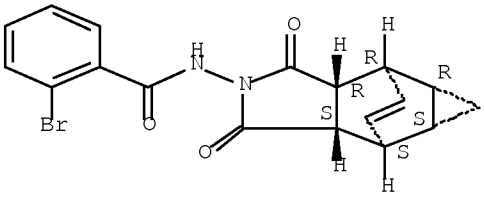
RN 935766-04-4 CAPLUS
CN Benzamide, 4-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



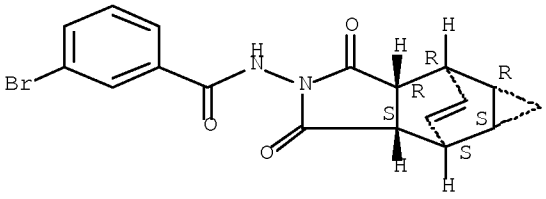
RN 935766-05-5 CAPLUS
CN Benzamide, 2-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



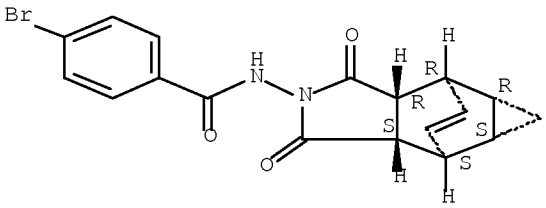
RN 935766-06-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



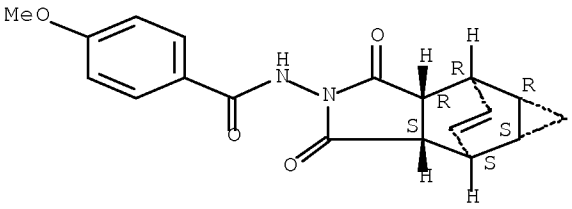
RN 935766-07-7 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



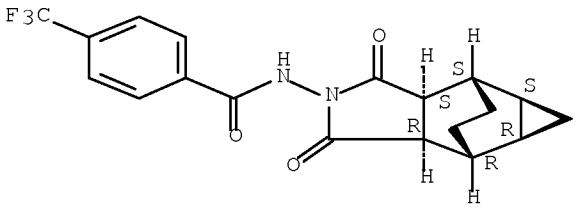
RN 935766-09-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



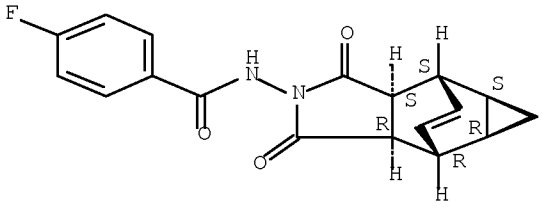
RN 945962-36-7 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



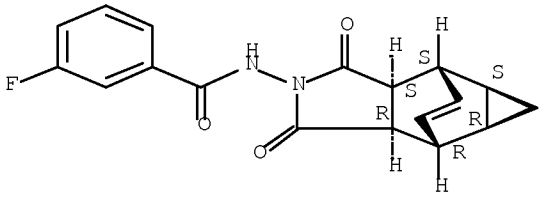
RN 959922-75-9 CAPLUS
CN Benzamide, 4-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



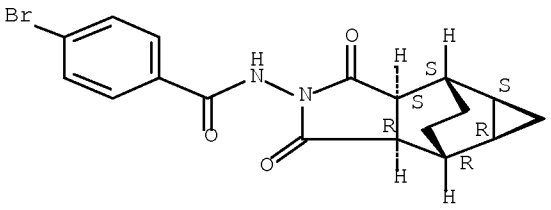
RN 959922-76-0 CAPLUS
CN Benzamide, 3-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



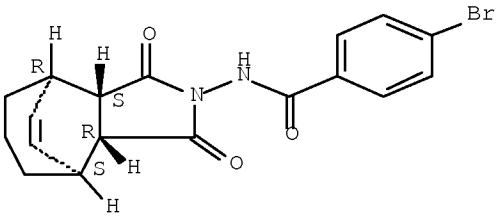
RN 959922-77-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



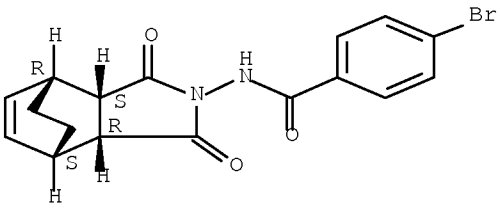
RN 959922-79-3 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,8R,8aS)-3,3a,4,5,6,7,8,8a-octahydro-1,3-dioxo-4,8-ethenocyclohepta[c]pyrrol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



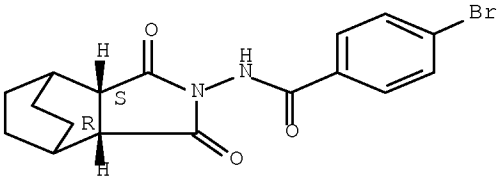
RN 959922-82-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



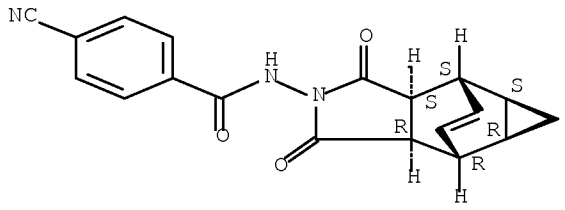
RN 959922-85-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



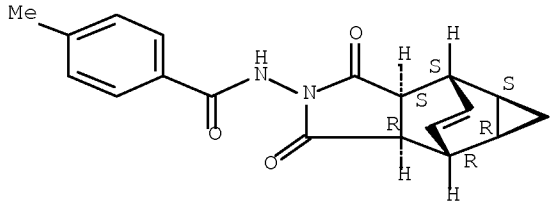
RN 959922-88-4 CAPLUS
CN Benzamide, 4-cyano-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



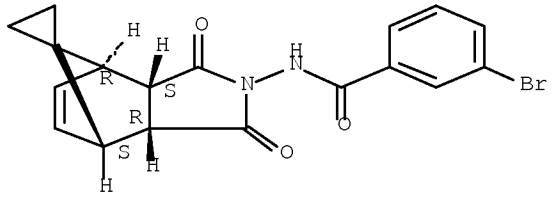
RN 959922-95-3 CAPLUS
CN Benzamide, 4-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959922-98-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3'aR,4'S,7'R,7'aS)-1',3',3',4',7',7'a-hexahydro-1',3'-dioxospiro[cyclopropane-1,8'-[4,7]methano[2H]isoindol]-2'-yl]-, rel- (CA INDEX NAME)

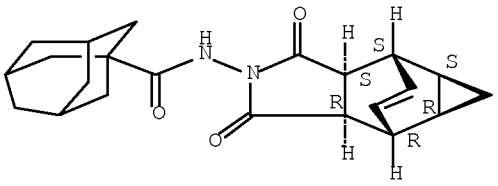
Relative stereochemistry.



RN 959923-00-3 CAPLUS

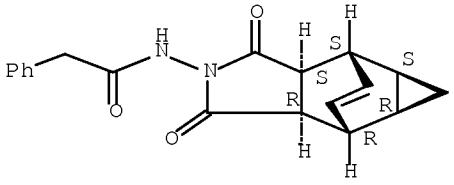
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide,
N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



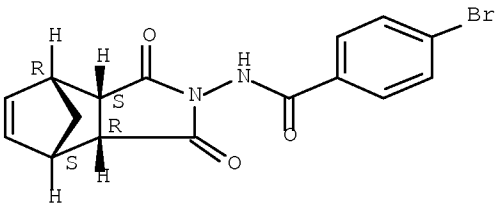
RN 959923-03-6 CAPLUS
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



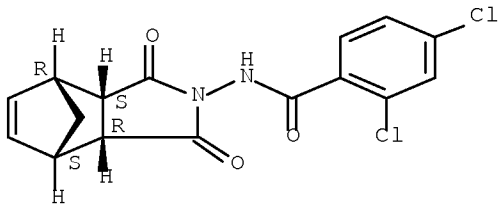
RN 959923-05-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



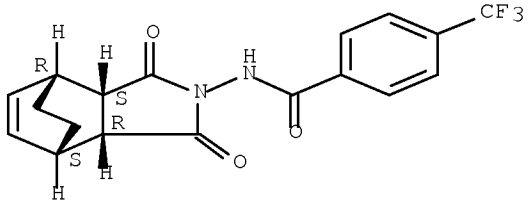
RN 959923-06-9 CAPLUS
CN Benzamide, 2,4-dichloro-N-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



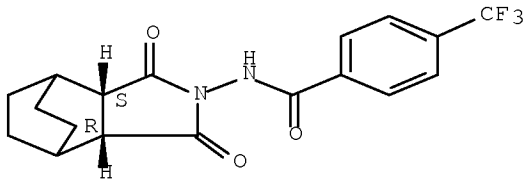
RN 959923-07-0 CAPLUS
CN Benzamide, N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



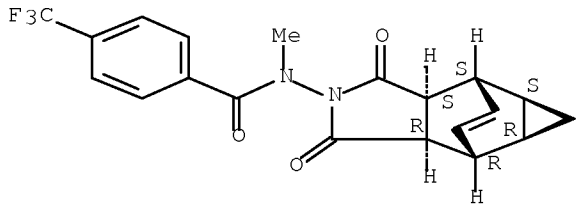
RN 959923-08-1 CAPLUS
CN Benzamide, N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



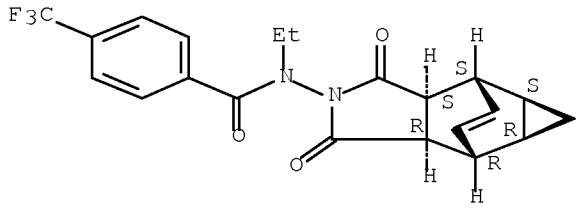
RN 959923-09-2 CAPLUS
CN Benzamide, N-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



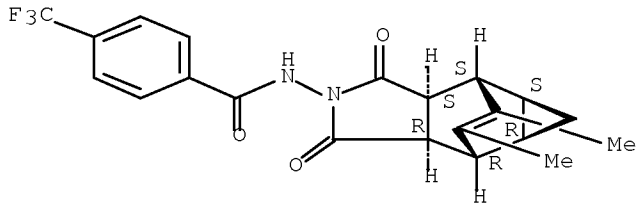
RN 959923-10-5 CAPLUS
CN Benzamide, N-ethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



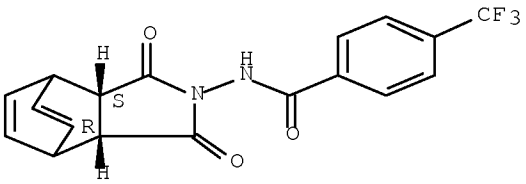
RN 959923-11-6 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-7,8-dimethyl-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



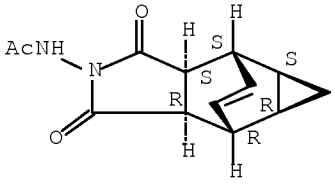
RN 959923-12-7 CAPLUS
CN Benzamide, N-[(3aR,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



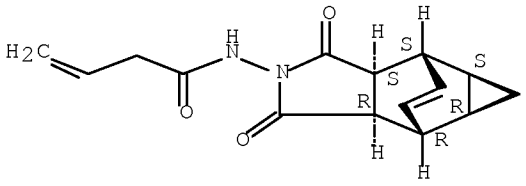
RN 959923-13-8 CAPLUS
CN Acetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



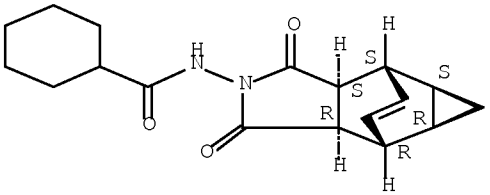
RN 959923-14-9 CAPLUS
CN 3-Butenamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



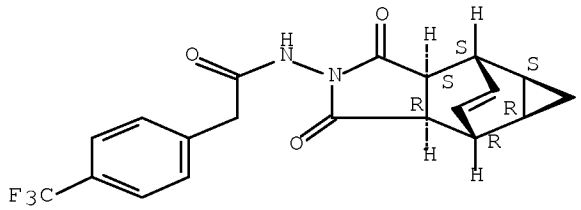
RN 959923-15-0 CAPLUS
CN Cyclohexanecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



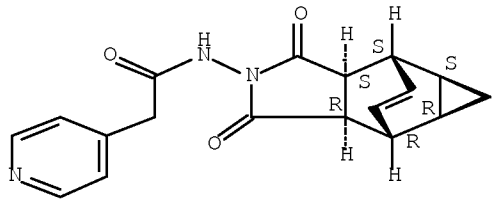
RN 959923-16-1 CAPLUS
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



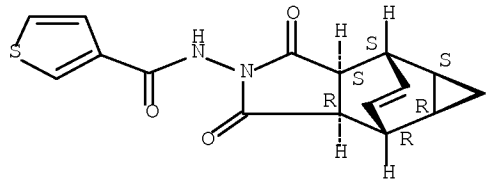
RN 959923-17-2 CAPLUS
CN 4-Pyridineacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



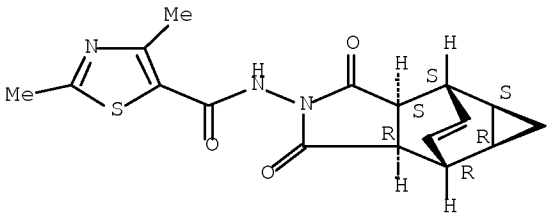
RN 959923-18-3 CAPLUS
CN 3-Thiophenecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



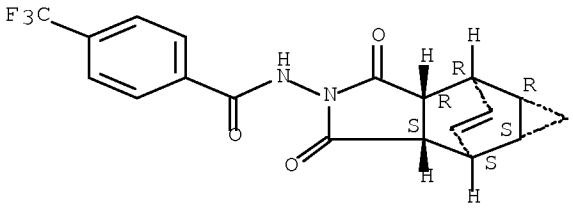
RN 959923-20-7 CAPLUS
CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 869572-92-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)
RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1057356 CAPLUS Full-text
DOCUMENT NUMBER: 150:320247
TITLE: Emerging antiviral drugs
AUTHOR(S): De Clercq, Erik
CORPORATE SOURCE: Rega Institute for Medical Research, Katholieke Universiteit, Louvain, B-3000, Belg.
SOURCE: Expert Opinion on Emerging Drugs (2008), 13(3), 393-416
CODEN: EOEDA3; ISSN: 1472-8214
PUBLISHER: Informa Healthcare
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Foremost among the newly described antiviral agents that may be developed into drugs are, for the treatment of human papilloma virus (HPV) infections, cPrPMEDAP; for the treatment of herpes simplex virus (HSV) infections, BAY 57-1293; for the treatment of varicella-zoster virus (VZV) infections, FV-100 (prodrug of Cf 1743); for the treatment of cytomegalovirus

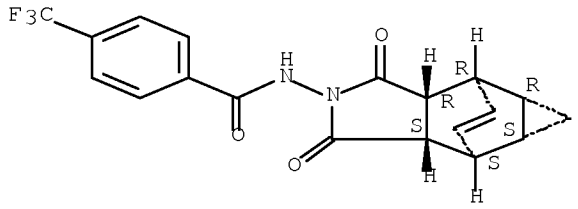
(CMV) infections, maribavir; for the treatment of poxvirus infections, ST-246; for the treatment of hepatitis B virus (HBV) infections, tenofovir disoproxil fumarate (TDF) (which in the meantime has already been approved in the EU); for the treatment of various DNA virus infections, the hexadecyloxypropyl (HDP) and octadecyloxyethyl (ODE) prodrugs of cidofovir; for the treatment of orthomyxovirus infections (i.e., influenza), peramivir; for the treatment of hepacivirus infections (i.e., hepatitis C), the protease inhibitors telaprevir and boceprevir, the nucleoside RNA replicase inhibitors (NRRIs) PSI-6130 and R1479, and various non-nucleoside RNA replicase inhibitors (NNRRIs); for the treatment of human immunodeficiency virus (HIV) infections, integrase inhibitors (INIs) such as elvitegravir, nucleoside reverse transcriptase inhibitors (NRTIs) such as apricitabine, non-nucleoside reverse transcriptase inhibitors (NNRTIs) such as rilpivirine and dapivirine; and for the treatment of both HCV and HIV infections, cyclosporin A derivs. such as the non-immunosuppressive Debio-025.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiviral ST-246 may be developed into drug for treatment of patient infected with poxvirus)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 177 THERE ARE 177 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:674466 CAPLUS Full-text

DOCUMENT NUMBER: 149:32294

TITLE: Preparation of acylaminothiazole derivatives as vascular adhesion protein 1 (VAP-1) inhibitors

INVENTOR(S): Matsukawa, Tatsuya; Masuzaki, Kazuhiro; Yamamoto, Noriyuki; Takewaki, Makoto; Tanaka, Hiroyuki; Kawai, Yosuke; Yamamoto, Sumiyo

PATENT ASSIGNEE(S): R-Tech Ueno, Ltd., Japan

SOURCE: PCT Int. Appl., 125pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

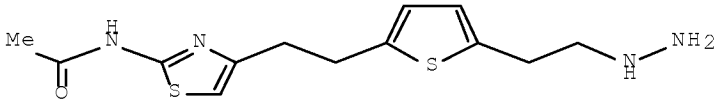
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008066145	A1	20080605	WO 2007-JP73137	20071130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-325061 A 20061130
OTHER SOURCE(S): MARPAT 149:32294

GI



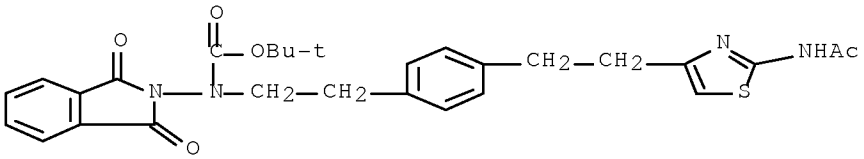
II

AB The title compds. represented by the formula R1-NH-X-Y-Z [R1 = acyl; X = divalent group derived from (un)substituted thiazole; Y = J-L-M; J = a bond, lower alkylene, lower alkenylene, lower alkynylene, (CH2)nO, (CH2)nNH, (CH2)nCO, (CH2)nSO2; n = an integer of 0-6; L = a bond, O, NH, CO, SO2; M = a bond, lower alkylene, lower alkenylene, lower alkynylene; Z = A-B-D-E; A = a divalent group derived from benzene or thiophene; B = NR2-CO, (CH2)n, (CH2)nCO; R2 = H, lower alkyl, acyl; n = an integer of 0-6; D = NR3; R3 = H, lower alkyl, alkoxycarbonyl, acyl; E = (un)substituted NH2] or pharmacol. acceptable salts thereof were prepared These compds. are useful as VAP-1 inhibitors and pharmaceutical agents for the prevention or treatment of a VAP-1-related disease such as macular edema, cystoid macular edema, and a disease associated with the increase in vascular permeability. Thus, N-[4-[2-[5-(2-hydroxyethyl)thiophen-2- yl]ethyl]thiazol-2-yl]acetamide was condensed with tert-Bu (1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate using Ph3P and di-Et azodicarboxylate in toluene/THF while slowly raising the temperature from 0° to room temperature for 15 h to give tert-Bu [2-[5-[2-[2-(acetylamino)-1,3-thiazol-4-yl]ethyl]thiophen-2-yl]ethyl](1,3- dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate which was treated with methylhydrazine in THF while slowly raising temperature from -20 to room temperature for 7 h to give tert-Bu N-[2-[5-[2-[2-(acetylamino)-1,3-thiazol-4- yl]ethyl]thiophen-2-yl]ethyl]hydrazinecarboxylate (I). I was treated with HCl in a mixture of CH2Cl2, THF, and Et2O at room temperature for 22 h to give N-(4-[2-[5-(2-hydrazinoethyl)thiophen-2-yl]ethyl]-1,3-thiazol-2- yl)acetamide hydrochloride which was converted into N-[4-[2-[5-(2-hydrazinoethyl)thiophen-2-yl]ethyl]-1,3-thiazol-2- yl]acetamide (II) maleate. II maleate showed IC50 of 0.001 and 0.0002 μM against human and rat VAP-1 enzyme (semicarbazide sensitive amine oxidase, SSAO), resp.

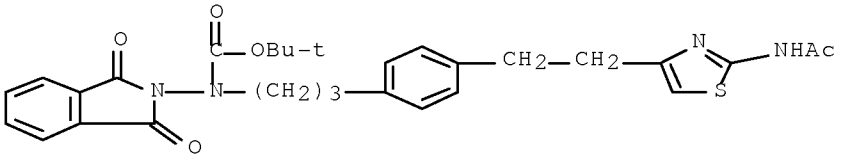
IT 1030893-93-6P, tert-Butyl [2-[4-[2-(2-acetylamino-1,3-thiazol-4-yl)ethyl]phenyl]ethyl](1,3-dioxo-1,3-

dihydro-2H-isoindol-2-yl)carbamate 1030893-98-1P, tert-Butyl [3-[4-[2-[2-(acetylamino)-1,3-thiazol-4-yl]ethyl]phenyl]propyl](1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate 1030894-22-4P, tert-Butyl [2-[5-[2-[2-(acetylamino)-1,3-thiazol-4-yl]ethyl]thiophen-2-yl]ethyl](1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate 1030894-30-4P, tert-Butyl [3-[5-[2-(2-acetylamino-1,3-thiazol-4-yl)ethyl]thiophen-2-yl]propyl](1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of acylaminothiazole derivs. as vascular adhesion
protein 1 (VAP-1) inhibitors)

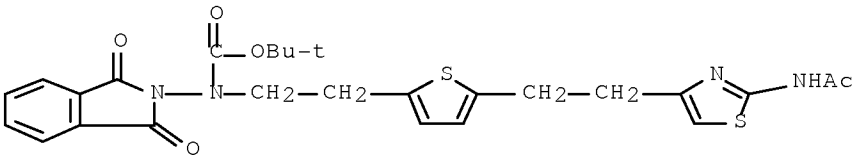
RN 1030893-93-6 CAPLUS
CN Carbamic acid, N-[2-[4-[2-[2-(acetylamino)-4-thiazolyl]ethyl]phenyl]ethyl]-N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



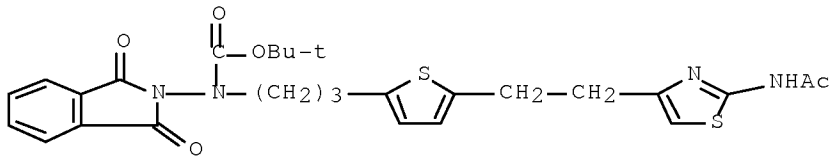
RN 1030893-98-1 CAPLUS
CN Carbamic acid, N-[3-[4-[2-[2-(acetylamino)-4-thiazolyl]ethyl]phenyl]propyl]-N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



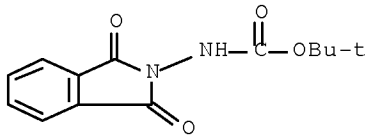
RN 1030894-22-4 CAPLUS
CN Carbamic acid, N-[2-[5-[2-[2-(acetylamino)-4-thiazolyl]ethyl]-2-thienyl]ethyl]-N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1030894-30-4 CAPLUS
CN Carbamic acid, N-[3-[5-[2-[2-(acetylamino)-4-thiazolyl]ethyl]-2-thienyl]propyl]-N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 34387-89-8, tert-Butyl (1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)carbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acylaminothiazole derivs. as vascular adhesion protein 1 (VAP-1) inhibitors)
RN 34387-89-8 CAPLUS
CN Carbamic acid, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:631105 CAPLUS Full-text
DOCUMENT NUMBER: 149:167212
TITLE: Evaluation of orally delivered ST-246 as postexposure prophylactic and antiviral therapeutic in an aerosolized rabbitpox rabbit model
AUTHOR(S): Nalca, Aysegul; Hatkin, Josh M.; Garza, Nicole L.; Nichols, Donald K.; Norris, Sarah W.; Hruby, Dennis E.; Jordan, Robert
CORPORATE SOURCE: Center for Aerobiological Sciences, U.S. Army Medical Research Institute of Infectious Diseases (USAMRIID), Fort Detrick, Fort Detrick, MD, USA
SOURCE: Antiviral Research (2008), 79(2), 121-127
CODEN: ARSRDR; ISSN: 0166-3542
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

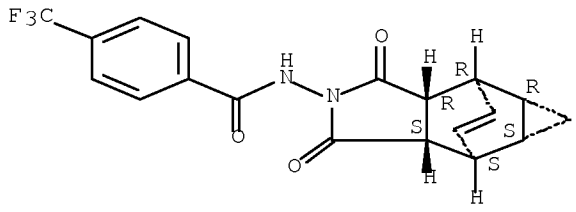
AB Orthopoxviruses, such as variola and monkeypox viruses, can cause severe disease in humans when delivered by the aerosol route, and thus represent significant threats to both military and civilian populations. Currently, there are no antiviral therapies approved by the U.S. Food and Drug Administration (FDA) to treat smallpox or monkeypox infection. In this study, we showed that administration of the antiviral compound ST-246 to rabbits by oral gavage, once daily for 14 days beginning 1 h postexposure (p.e.), resulted in 100% survival in a lethal aerosolized rabbitpox model used as a surrogate for smallpox. Furthermore, efficacy of delayed treatment with ST-246 was evaluated by beginning treatment on days 1, 2, 3, and 4 p.e. Although a limited number of rabbits showed less severe signs of the rabbitpox disease from the day 1 and day 2 p.e. treatment groups, their illness resolved very quickly, and the survival rates for these group of rabbits were 88% and 100%, resp. But when the treatment was started on days 3 or 4 p.e., survival was 67% and 33%, resp. This work suggests that ST-246 is a very potent antiviral compound against aerosolized rabbitpox in rabbits and should be investigated for further development for all orthopoxvirus diseases.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(evaluation of orally delivered ST-246 as postexposure prophylactic and antiviral therapeutic in an aerosolized rabbitpox rabbit model)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:562084 CAPLUS Full-text

DOCUMENT NUMBER: 148:509383

TITLE: Single-dose safety and pharmacokinetics of ST-246, a novel orthopoxvirus egress inhibitor

AUTHOR(S): Jordan, Robert; Tien, Deborah; Bolken, Tove' C.; Jones, Kevin F.; Tyavanagimatt, Shanthakumar R.; Strasser, Josef; Frimm, Annie; Corrado, Michael L.; Strome, Phoebe G.; Hruby, Dennis E.

CORPORATE SOURCE: SIGA Technologies, Corvallis, OR, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2008), 52(5), 1721-1727
CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

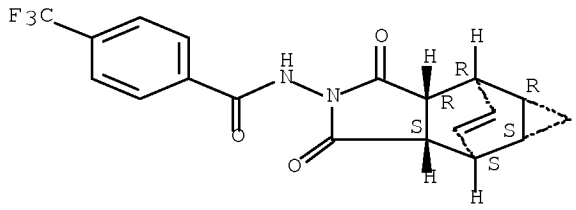
DOCUMENT TYPE: Journal
LANGUAGE: English

AB ST-246 is a novel, potent orthopoxvirus egress inhibitor that is being developed to treat pathogenic orthopoxvirus infections of humans. This phase I, double-blind, randomized, placebo-controlled single ascending dose study (first time with humans) was conducted to determine the safety, tolerability, and pharmacokinetics of ST-246 in healthy human volunteers. ST-246 was administered in single oral doses of 500, 1000, and 2000 mg to fasting healthy volunteers and 1,000 mg to nonfasting healthy volunteers. ST-246 was generally well tolerated with no serious adverse events, and no subject was withdrawn from the study due to ST-246. The most commonly reported drug-related adverse event was neutropenia, which was found, upon further anal., not to be treatment related. ST-246 was readily absorbed following oral administration with mean times to maximum concentration from 2 h to 3 h. Absorption was greater in nonfasting volunteers than in fasting volunteers. Administration of ST-246 resulted in exposure levels predicted to be sufficient for inhibiting orthopoxvirus replication compared to exposure levels in nonhuman primates in which ST-246 protected animals from lethal orthopoxvirus infection.

IT 869572-92-9, ST-246
RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); BIOL (Biological study)
(single-dose safety and pharmacokinetics of ST-246, a novel orthopoxvirus egress inhibitor)

RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

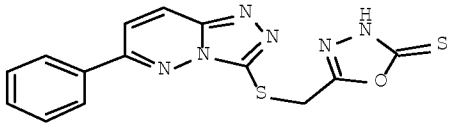
Relative stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:510782 CAPLUS Full-text
DOCUMENT NUMBER: 149:53942
TITLE: Anti-HAV activity of some newly synthesized triazolo[4,3-b]pyridazines
AUTHOR(S): Shamroukh, Ahmed H.; Ali, Mohamed. A.
CORPORATE SOURCE: Photochemistry Department, National Research Centre, Cairo, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2008), 341(4), 223-230
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:53942
GI

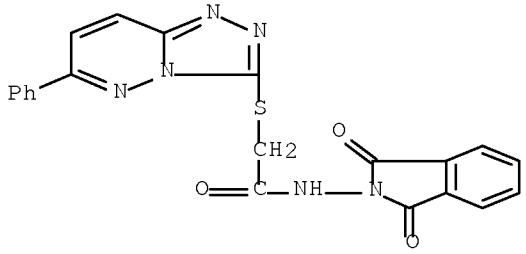


I

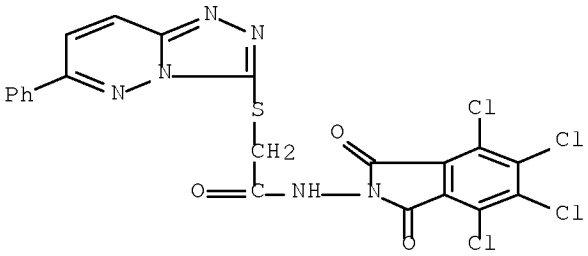
AB 6-Phenyl-[1,2,4]triazolo[4,3-b]pyridazine-3(2H)-thione was used as precursor for the preparation of some novel 3-S-substituted-6-phenyl-[1,2,4]triazolo[4,3-b]pyridazine derivs. Furthermore, the preparation of 1-[2-(6-phenyl-[1,2,4]triazolo[4,3-b]pyridazin- 3-ylsulfanyl)acetyl]-1H-pyrazole derivative and 5-(6-phenyl-[1,2,4]triazolo[4,3-b]pyridazin-3-ylsulfanylmethyl)- [1,3,4]oxadiazole derivs. are described. Some of the prepared products revealed a promising antiviral activity against hepatitis-A virus (HAV, MBB-cell culture adapted strain). Plaque reduction infectivity assay was used to determine virus count reduction as a result of treatment with the test compds. Compound I showed the highest effect on HAV compared to the other tested compds.

IT 1033038-31-1P 1033038-35-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anti-hepatitis A virus activity of substituted triazolopyridazines)

RN 1033038-31-1 CAPLUS
CN Acetamide, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-2-[(6-phenyl-1,2,4-triazolo[4,3-b]pyridazin-3-yl)thio]- (CA INDEX NAME)



RN 1033038-35-5 CAPLUS
CN Acetamide, 2-[(6-phenyl-1,2,4-triazolo[4,3-b]pyridazin-3-yl)thio]-N-(4,5,6,7-tetrachloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:352859 CAPLUS Full-text
DOCUMENT NUMBER: 148:394354
TITLE: Compositions and methods for treatment of viral diseases
INVENTOR(S): Johansen, Lisa M.; Owens, Christopher M.; Mawhinney, Christina; Chappell, Todd W.; Brown, Alexander T.; Frank, Michael G.; Altmeyer, Ralf
PATENT ASSIGNEE(S): Combinatorx (Singapore) Pre. Ltd., Singapore
SOURCE: PCT Int. Appl., 237pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008033466	A2	20080320	WO 2007-US19932	20070913
WO 2008033466	A3	20081211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20080161324	A1	20080703	US 2007-900893	20070913
PRIORITY APPLN. INFO.:			US 2006-844463P P	20060914
			US 2006-874061P P	20061211

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Based on the results of the authors screen identifying compds. and combinations of compds. having antiviral activity, the present invention features compns., methods, and kits useful in the treatment of viral diseases. In certain embodiments, the viral disease is caused by a single stranded RNA virus, a flaviviridae virus, or a hepatic virus. In particular embodiments,

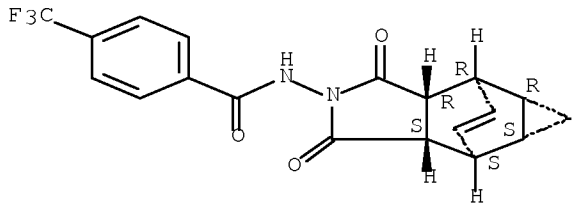
the viral disease is viral hepatitis (e.g., hepatitis A, hepatitis B, hepatitis C, hepatitis D, hepatitis E). Also featured are screening methods for identification of novel compds. that may be used to treat a viral disease.

IT 869572-92-9, SIGA 246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treatment of viral diseases)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L9 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:140397 CAPLUS Full-text

DOCUMENT NUMBER: 149:264725

TITLE: Immune responses to the smallpox vaccine given in combination with ST-246, a small-molecule inhibitor of poxvirus dissemination

AUTHOR(S): Grosenbach, Douglas W.; Jordan, Robert; King, David S.; Berhanu, Aklile; Warren, Travis K.; Kirkwood-Watts, Dana L.; Tyavanagimatt, Shanthakumar; Tan, Ying; Wilson, Rebecca L.; Jones, Kevin F.; Hruby, Dennis E.

CORPORATE SOURCE: SIGA Technologies, Corvallis, OR, 97333, USA

SOURCE: Vaccine (2008), 26(7), 933-946
CODEN: VACCDE; ISSN: 0264-410X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Summary: The re-emerging threat of smallpox and the emerging threat of monkeypox highlight the need for effective poxvirus countermeasures. Currently approved smallpox vaccines have unacceptable safety profiles and, consequently, the general populace is no longer vaccinated, leading to an increasingly susceptible population. ST-246, a small-mol. inhibitor of poxvirus dissemination, has been demonstrated in various animal models to be safe and effective in preventing poxviral disease. This suggests that it may also be used to improve the safety of the traditional smallpox vaccine provided that it does not inhibit vaccine-induced protective immunity. In this study, we compared the immune responses elicited by the smallpox vaccine alone or in combination with ST-246 in mice. Normal lesion formation following dermal scarification with the attenuated New York City Board of Health strain (Dryvax), commonly referred to as a vaccine "take", was not inhibited although severe lesions and systemic disease due to vaccination with

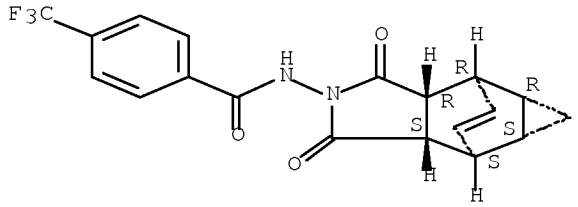
the virulent Western Reserve (VV-WR) strain were prevented. The vaccine given with ST-246 did not affect cellular immune responses or neutralizing antibody titers although anti-vaccinia ELISA titers were slightly reduced. Vaccination in combination with ST-246 provided equivalent short- and long-term protection against lethal intranasal challenge with VV-WR when compared to vaccine alone. These results suggest that ST-246 does not compromise protective immunity elicited by the vaccine and provide the basis for future studies examining the efficacy of ST-246 in preventing or treating adverse events due to vaccination.

IT 869572-92-9, ST-246
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(immune responses to smallpox vaccine given in combination with ST-246)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:127889 CAPLUS Full-text

DOCUMENT NUMBER: 148:206598

TITLE: N-alkyl substituted piperazinylmethylquinazolinones and azepanylmethylquinazolinones for the treatment of cancer

INVENTOR(S): Pierce, Michael; Qi, Longwu; Robbins, Paul B.; Sahasrabudhe, Sudhir R.; Selliah, Robert; Venkat, Raj Gopal

PATENT ASSIGNEE(S): Prolexys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

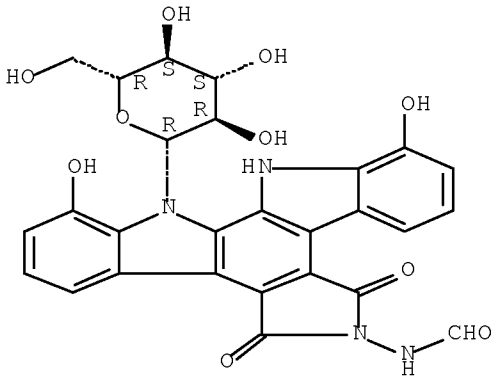
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008013987	A2	20080131	WO 2007-US16996	20070727
WO 2008013987	A3	20081030		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2006-834027P P 20060727
OTHER SOURCE(S): MARPAT 148:206598
AB The Title compds. (Markush included) are useful e.g. in the effective killing or reduction in rate of proliferation of cancer cells, such as in patients suffering from cancer. In addition to the compds. themselves, the invention provides pharmaceutical compns. of the compds., and a method of treatment using the compds.
IT 151069-12-4, NB-506
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(N-alkyl substituted piperazinylmethylquinazolinones and azepanylmethylquinazolinones for treatment of cancer, and use with other agents)
RN 151069-12-4 CAPLUS
CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L9 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:105893 CAPLUS Full-text
DOCUMENT NUMBER: 148:345830
TITLE: Activity of the anti-orthopoxvirus compound ST-246 against vaccinia, cowpox and camelpox viruses in cell monolayers and organotypic raft cultures
AUTHOR(S): Duraffour, Sophie; Snoeck, Robert; de Vos, Rita; van den Oord, Joost J.; Crance, Jean-Marc; Garin, Daniel; Hruby, Dennis E.; Jordan, Robert; De Clercq, Erik; Andrei, Graciela
CORPORATE SOURCE: Rega Institute For Medical Research, Louvain, Belg.

SOURCE: Antiviral Therapy (2007), 12(8), 1205-1216
CODEN: ANTHFA; ISSN: 1359-6535
PUBLISHER: International Medical Press
DOCUMENT TYPE: Journal
LANGUAGE: English

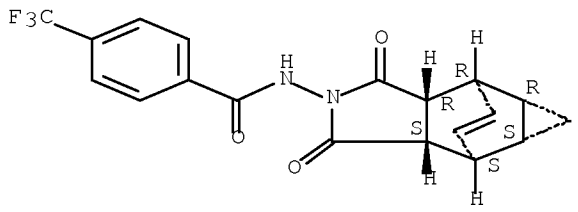
AB The potential use of variola virus as a biol. weapon has renewed efforts in the development of antiviral agents against orthopoxviruses. ST-246 [4-trifluoromethyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-di oxo-4,6-ethenocycloprop [f]isoindol-2(1H)-yl)-benzamide] is an anti-orthopoxvirus compound active against several orthopoxviruses including vaccinia virus (VV), cowpox virus (CPV), camelpox virus (CMLV), ectromelia virus (ECTV), and variola virus in cell culture. The compound has been shown to inhibit the release of extra-cellular virus by targeting the F13L W protein and to protect mice from VV, CPV, and ECTV orthopoxvirus-induced disease. The antiviral activity of ST-246 was assessed against extracellular and intracellular VV, CPV, and CMLV production in human embryonic lung (HEL) fibroblasts and primary human keratinocyte (PHK) cell monolayers, as well as in three-dimensional raft cultures. ST-246 inhibited preferentially the production of extracellular virus compared with intracellular virus production in HEL and PHK cells (for VV) and in PHK cells (for CMLV). In organotypic epithelial raft cultures, ST-246 at 20 μg/mL inhibited extracellular VV and CMLV production by 6 logs, whereas intracellular virus yield was reduced by 2 logs. In the case of CPV, both extracellular and intracellular virus production were completely inhibited by ST-246 at 20 μg/mL. Histol. sections of the infected rafts, treated with increasing amts. of drug, confirmed the antiviral activity of ST-246: the epithelium was protected and there was no evidence of viral infection. Electron microscopic examination confirmed the absence of intracellular enveloped virus forms in VV-, CPV-, and CMLV-infected cells treated with 10 μg/mL of ST-246. These data indicate that ST-246 is a potent anti-orthopoxvirus compound; the mode of inhibition is dependent on the virus and cell type.

IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 exhibited antiviral activity, inhibited extracellular and intracellular production of vaccinia, cowpox or camelpox virus in human embryonic lung fibroblast and primary keratinocyte monolayer, organotypic epithelial raft culture)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:9028 CAPLUS Full-text
DOCUMENT NUMBER: 148:121578
TITLE: Process for preparation of isoindole derivatives for treatment and prevention of orthopoxvirus infections
INVENTOR(S): Jordan, Robert F.; Bailey, Thomas R.; Rippin, Susan R.; Dai, Dongcheng
PATENT ASSIGNEE(S): Siga Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 25pp., Cont.-in-part of U.S. Ser. No. 561,153.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080004452	A1	20080103	US 2007-785997	20070423
WO 2004112718	A2	20041229	WO 2004-US19552	20040618
WO 2004112718	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20060235051	A1	20061019	US 2006-561153	20060405
US 20080103181	A9	20080501		
CA 2685198	A1	20080703	CA 2007-2685198	20070423
WO 2008079159	A2	20080703	WO 2007-US9750	20070423
WO 2008079159	A3	20081009		
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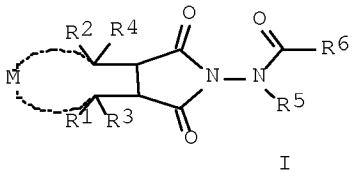
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.:		
US 2003-480182P	P	20030620
WO 2004-US19552	W	20040618
US 2006-561153	A2	20060405
WO 2007-US9750	W	20070423

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:121578; MARPAT 148:121578
GI



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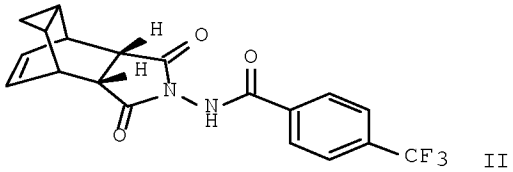


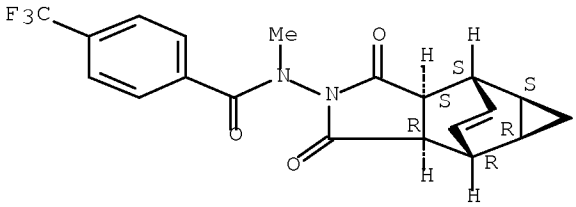
II

AB This invention provides a process for the preparation of isoindole derivs. I [wherein R1, R2, and R5 = independently H or alkyl; R3 and R4 = independently H, alkyl; or R3 and R4 together with the carbons to which they are attached form an (un)substituted cyclic structure; R6 = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; M = (un)substituted -CH2CH2- or -CH=CH-] or pharmaceutically acceptable salts thereof for the treatment or prophylaxis of viral infections and diseases associated therewith, particularly cased by the orthopoxvirus. For example, cycloheptatriene was reacted with maleic anhydride, followed by the addition of 4-(trifluoromethyl)benzhydrazide to give II. II exhibited inhibitory activity against vaccinia virus-induced CPE with EC50 value of ≤ 0.5 μM. Formulations containing II as an active ingredient were also disclosed in the invention.

IT 959923-09-2P 959923-10-5P 959923-11-6P
959923-12-7P 959923-13-8P 959923-14-9P
959923-15-0P 959923-16-1P 959923-17-2P
959923-18-3P 959923-19-4P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

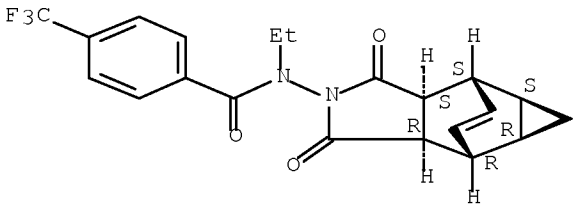
RN 959923-09-2 CAPLUS
CN Benzamide, N-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



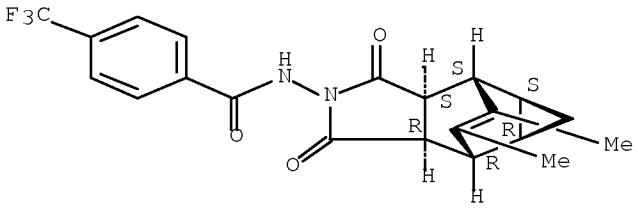
RN 959923-10-5 CAPLUS
CN Benzamide, N-ethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



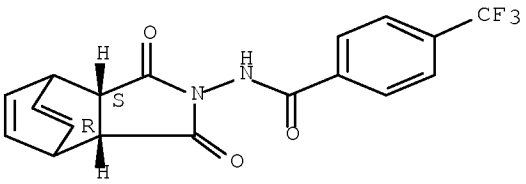
RN 959923-11-6 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-7,8-dimethyl-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



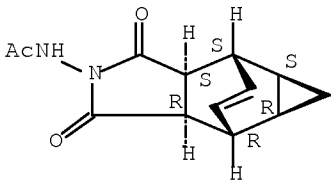
RN 959923-12-7 CAPLUS
CN Benzamide, N-[(3aR,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



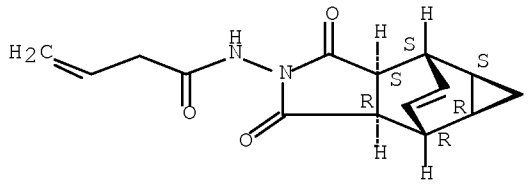
RN 959923-13-8 CAPLUS
CN Acetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



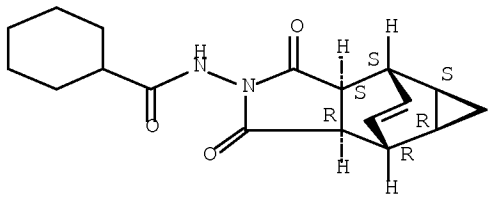
RN 959923-14-9 CAPLUS
CN 3-Butenamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



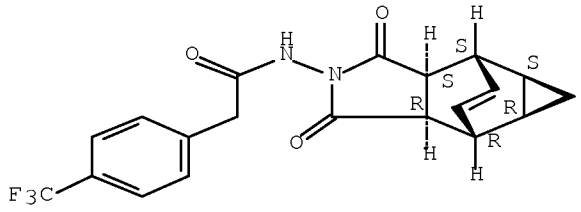
RN 959923-15-0 CAPLUS
CN Cyclohexanecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



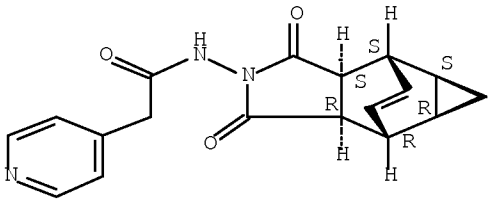
RN 959923-16-1 CAPLUS
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



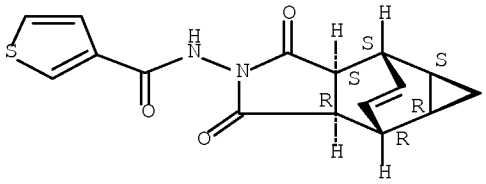
RN 959923-17-2 CAPLUS
CN 4-Pyridineacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



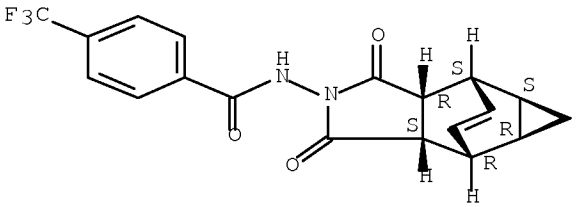
RN 959923-18-3 CAPLUS
CN 3-Thiophenecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959923-19-4 CAPLUS
CN Benzamide, N-[(3aR,4S,4aS,5aR,6R,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

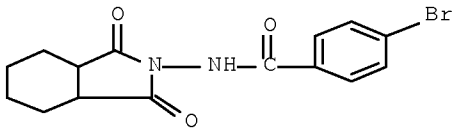
Relative stereochemistry.



IT 816458-39-6P 935765-96-1P 935765-99-4P
935766-00-0P 935766-01-1P 935766-02-2P
935766-03-3P 935766-04-4P 935766-05-5P
935766-06-6P 935766-07-7P 935766-09-9P
945962-36-7P 959922-75-9P 959922-76-0P
959922-77-1P 959922-79-3P 959922-82-8P
959922-85-1P 959922-88-4P 959922-95-3P
959922-98-6P 959923-00-3P 959923-03-6P
959923-05-8P 959923-06-9P 959923-07-0P
959923-08-1P 959923-20-7P

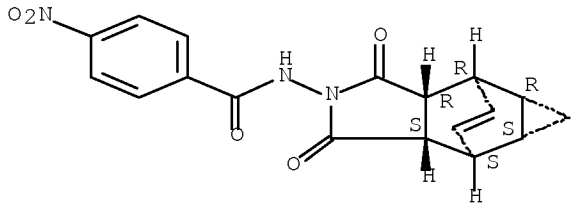
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

RN 816458-39-6 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



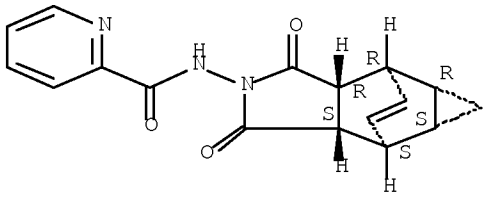
RN 935765-96-1 CAPLUS
CN Benzamide, 4-nitro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



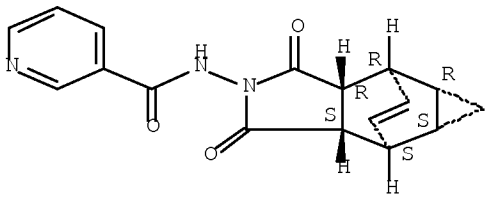
RN 935765-99-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



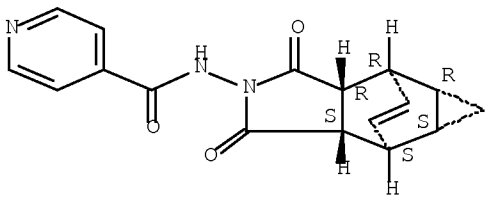
RN 935766-00-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



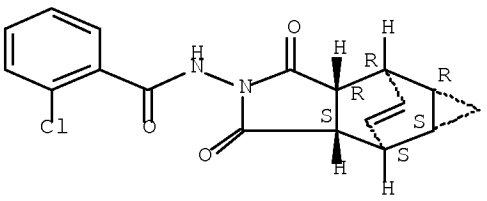
RN 935766-01-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



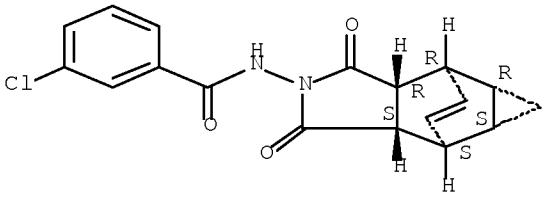
RN 935766-02-2 CAPLUS
CN Benzamide, 2-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



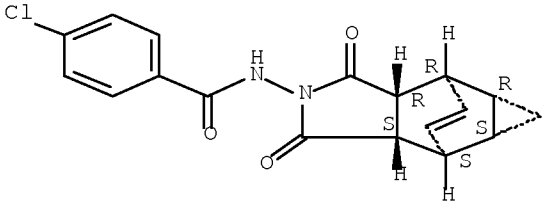
RN 935766-03-3 CAPLUS
CN Benzamide, 3-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



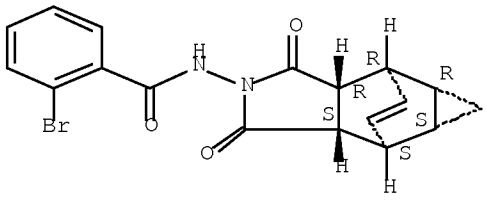
RN 935766-04-4 CAPLUS
CN Benzamide, 4-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



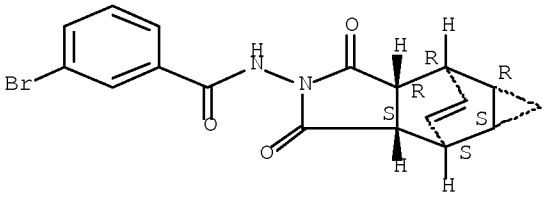
RN 935766-05-5 CAPLUS
CN Benzamide, 2-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



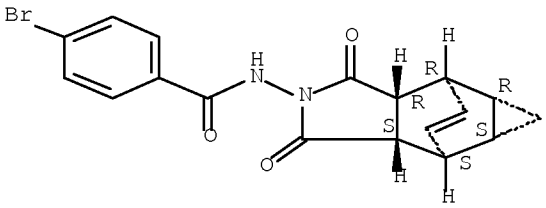
RN 935766-06-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



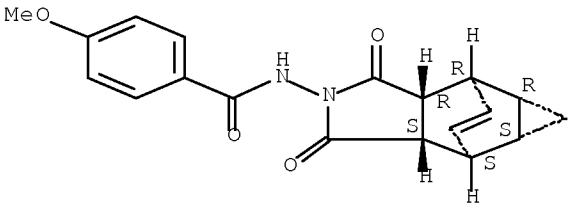
RN 935766-07-7 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



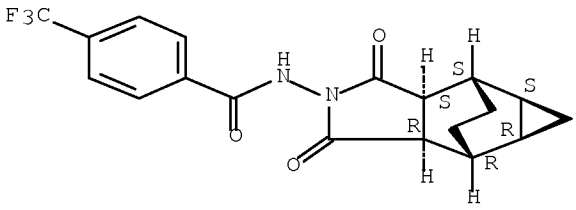
RN 935766-09-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



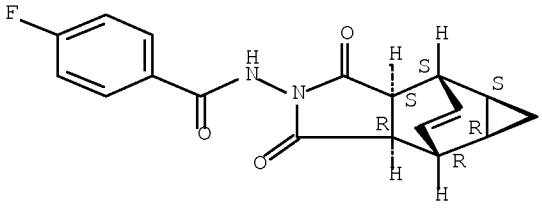
RN 945962-36-7 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



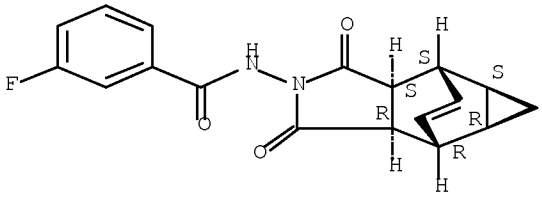
RN 959922-75-9 CAPLUS
CN Benzamide, 4-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



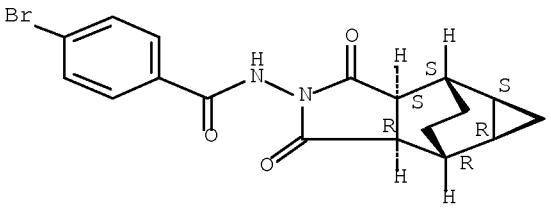
RN 959922-76-0 CAPLUS
CN Benzamide, 3-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



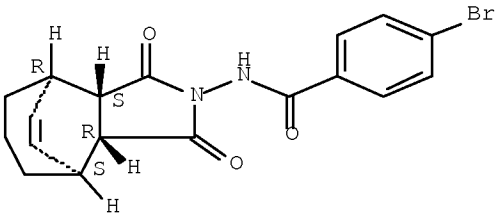
RN 959922-77-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



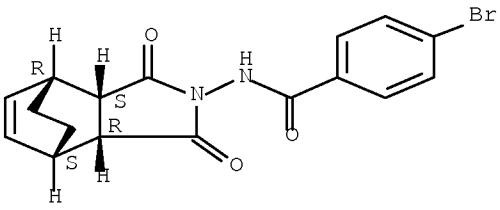
RN 959922-79-3 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,8R,8aS)-3,3a,4,5,6,7,8,8a-octahydro-1,3-dioxo-4,8-ethenocyclohepta[c]pyrrol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



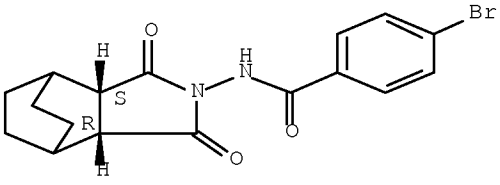
RN 959922-82-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



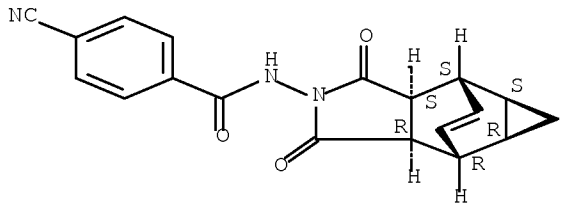
RN 959922-85-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



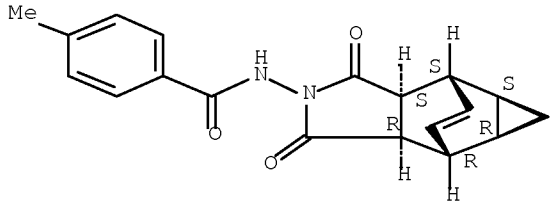
RN 959922-88-4 CAPLUS
CN Benzamide, 4-cyano-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959922-95-3 CAPLUS
CN Benzamide, 4-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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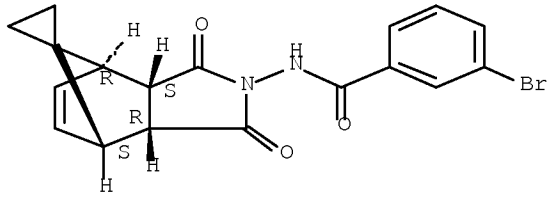
CN Benzamide, 3-bromo-N-[(3'aR,4'S,7'R,7'aS)-1',3',3',4',7',7'a-hexahydro-1',3'-dioxospiro[cyclopropane-1,8'-[4,7]methano[2H]isoindol]-2'-yl]-, rel- (CA INDEX NAME)

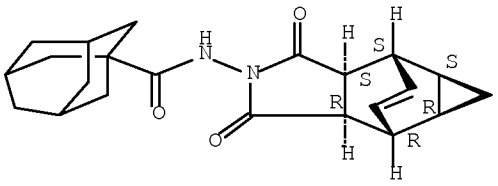
Relative stereochemistry.



RN 959923-00-3 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide,
N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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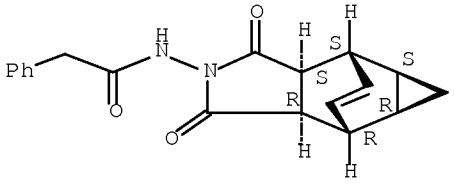
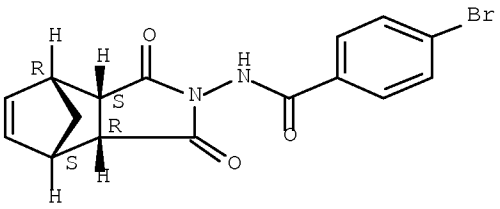
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959923-05-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959923-06-9 CAPLUS
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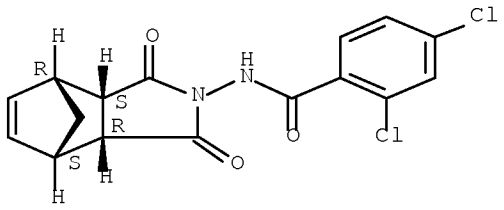
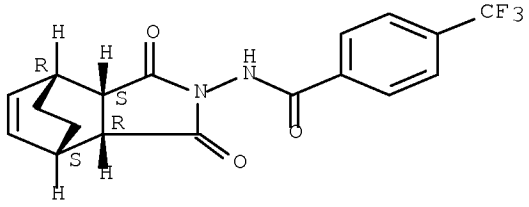
Relative stereochemistry.



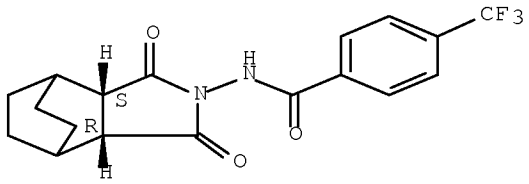
RN 959923-07-0 CAPLUS
CN Benzamide, N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



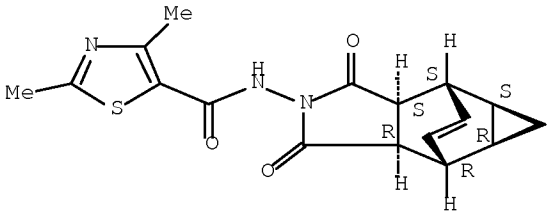
RN 959923-08-1 CAPLUS
CN Benzamide, N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



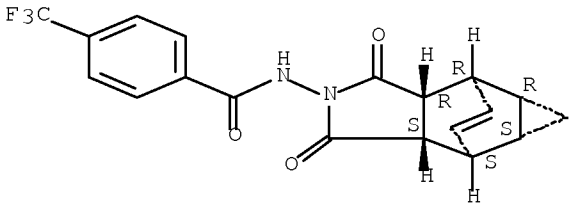
RN 959923-20-7 CAPLUS
CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 869572-92-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)
RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1415989 CAPLUS Full-text
DOCUMENT NUMBER: 148:54877
TITLE: Preparation of isoindole derivatives for treatment and prevention of orthopoxvirus infections
INVENTOR(S): Jordan, Robert F.; Bailey, Thomas R.; Rippin, Susan R.; Dai, Dongcheng
PATENT ASSIGNEE(S): Siga Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 561,153.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070287735	A1	20071213	US 2007-785998	20070423
WO 2004112718	A2	20041229	WO 2004-US19552	20040618
WO 2004112718	A3	20050407		

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US 20080103181	A9	20080501		
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AU 2007351866	A1	20081030	AU 2007-351866	20070423
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EP 2148860	A1	20100203	EP 2007-755857	20070423

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AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.:	US 2003-480182P	P 20030620
	WO 2004-US19552	W 20040618
	US 2006-561153	A2 20060405
	US 2007-785998	A 20070423
	WO 2007-US9750	W 20070423
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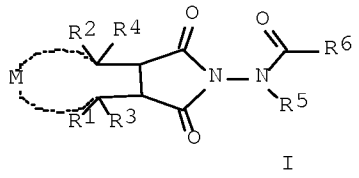
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:54877; MARPAT 148:54877
GI



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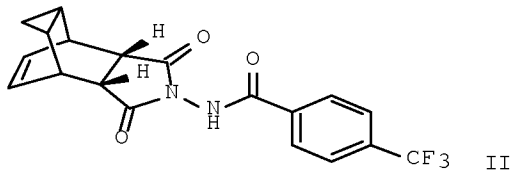


II

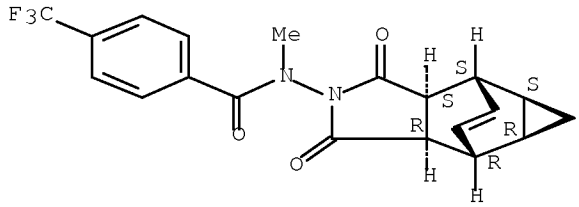
AB The title compds. with general formula I [wherein R1, R2, and R5 = independently H or alkyl; R3 and R4 = independently H, alkyl, or R3 and R4 together with the carbons to which they are attached form an (un)substituted cyclic structure; R6 = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; M = (un)substituted -CH2CH2- or -CH=CH-] or pharmaceutically acceptable salts thereof were prepared for the treatment or prophylaxis of viral infections and diseases associated therewith, particularly those viral infections and associated diseases cased by the orthopoxvirus. For example, compound II was prepared in a multi-step synthesis. II exhibited inhibitory activity against vaccinia virus-induced CPE with EC50 value of ≤ 0.5 μM. Formulations containing II as an active ingredient were also disclosed in the invention.

IT 959923-09-2P 959923-10-5P 959923-11-6P
959923-12-7P 959923-13-8P 959923-14-9P
959923-15-0P 959923-16-1P 959923-17-2P
959923-18-3P 959923-19-4P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

RN 959923-09-2 CAPLUS

CN Benzamide, N-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

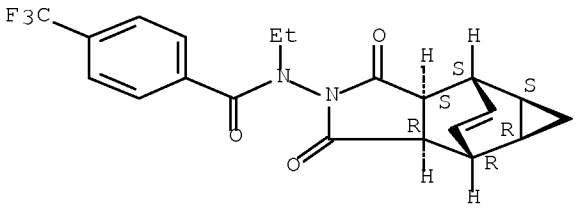
Relative stereochemistry.



RN 959923-10-5 CAPLUS

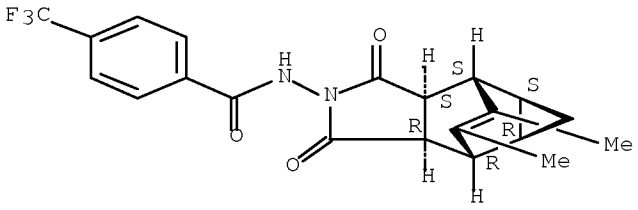
CN Benzamide, N-ethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



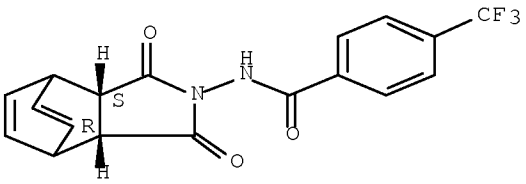
RN 959923-11-6 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-7,8-dimethyl-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



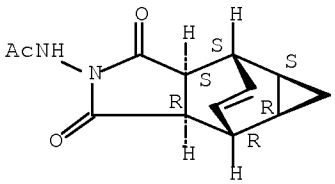
RN 959923-12-7 CAPLUS
CN Benzamide, N-[(3aR,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959923-13-8 CAPLUS
CN Acetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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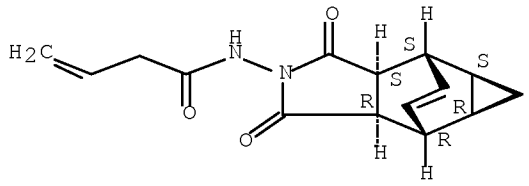
Relative stereochemistry.



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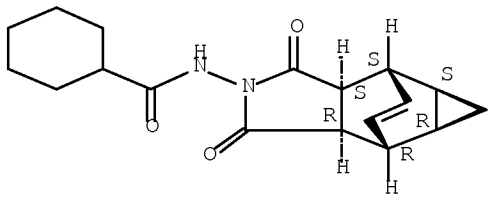
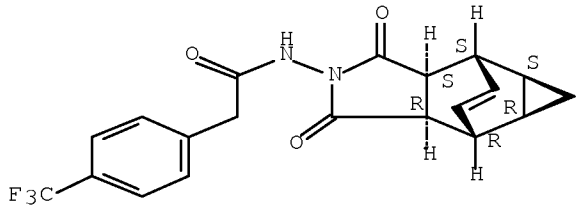
Relative stereochemistry.



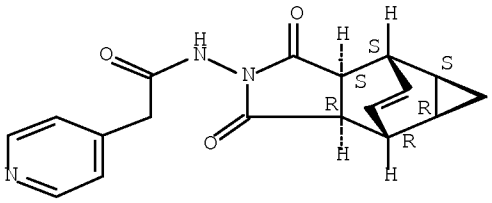
RN 959923-16-1 CAPLUS
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959923-17-2 CAPLUS
CN 4-Pyridineacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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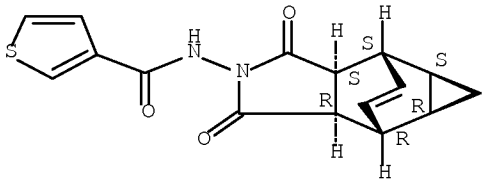
CN 3-Thiophenecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



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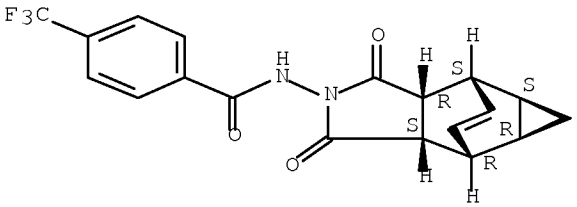
CN Benzamide, N-[(3aR,4S,4aS,5aR,6R,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

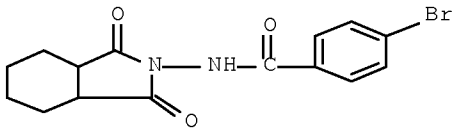
Relative stereochemistry.



IT 816458-39-6P 935765-96-1P 935765-99-4P
935766-00-0P 935766-01-1P 935766-02-2P
935766-03-3P 935766-04-4P 935766-05-5P
935766-06-6P 935766-07-7P 935766-09-9P
945962-36-7P 959922-75-9P 959922-76-0P
959922-77-1P 959922-79-3P 959922-82-8P
959922-85-1P 959922-88-4P 959922-95-3P
959922-98-6P 959923-00-3P 959923-03-6P
959923-05-8P 959923-06-9P 959923-07-0P
959923-08-1P 959923-20-7P

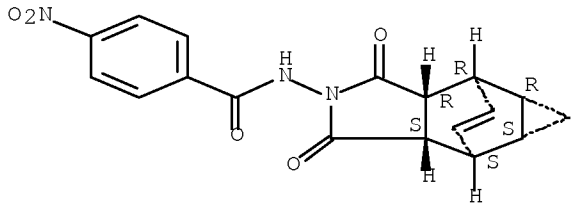
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)

RN 816458-39-6 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



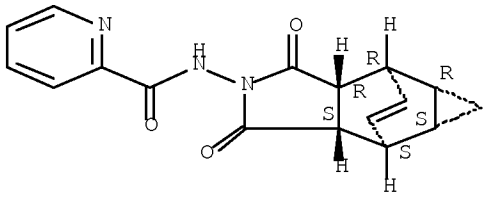
RN 935765-96-1 CAPLUS
CN Benzamide, 4-nitro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



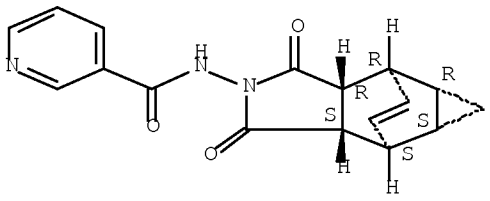
RN 935765-99-4 CAPLUS
CN 2-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



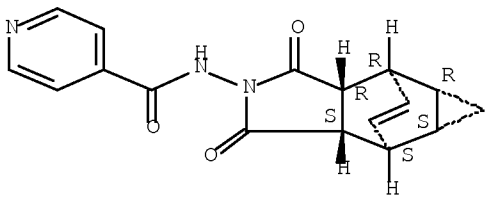
RN 935766-00-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



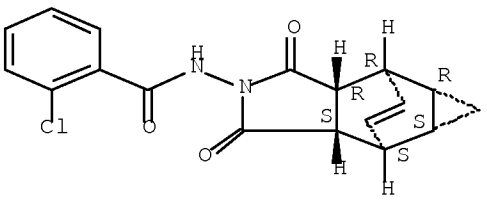
RN 935766-01-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



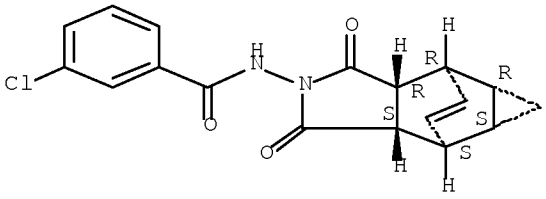
RN 935766-02-2 CAPLUS
CN Benzamide, 2-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



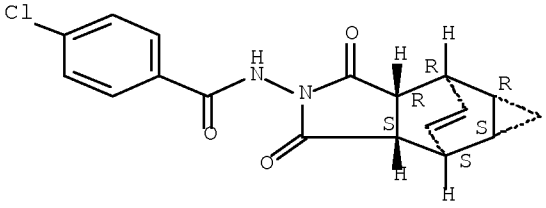
RN 935766-03-3 CAPLUS
CN Benzamide, 3-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



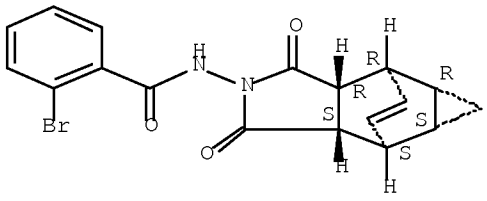
RN 935766-04-4 CAPLUS
CN Benzamide, 4-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



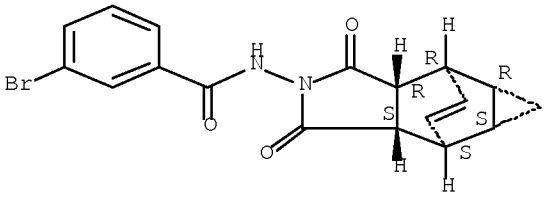
RN 935766-05-5 CAPLUS
CN Benzamide, 2-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



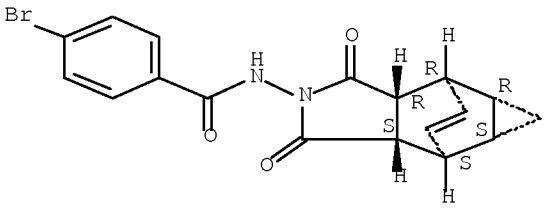
RN 935766-06-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



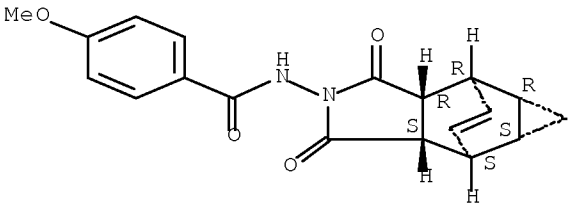
RN 935766-07-7 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



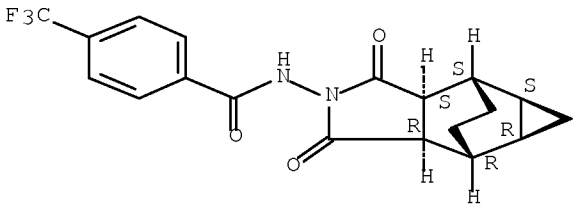
RN 935766-09-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



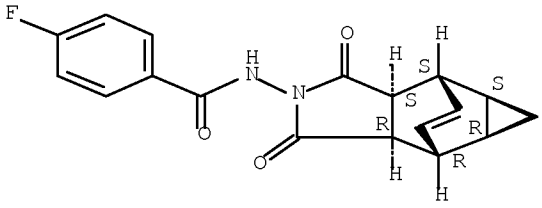
RN 945962-36-7 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



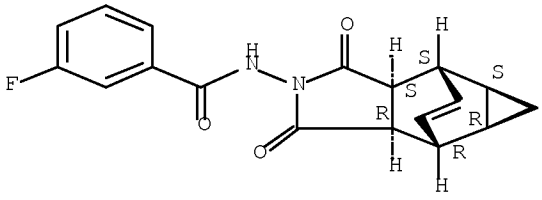
RN 959922-75-9 CAPLUS
CN Benzamide, 4-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



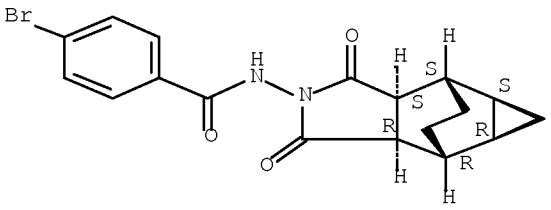
RN 959922-76-0 CAPLUS
CN Benzamide, 3-fluoro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



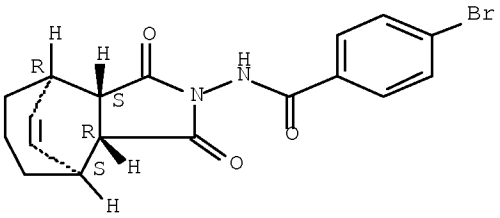
RN 959922-77-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



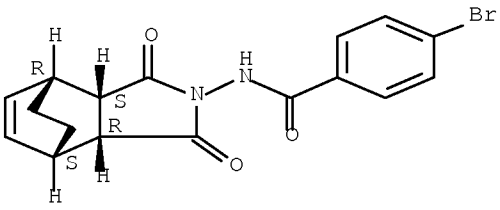
RN 959922-79-3 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,8R,8aS)-3,3a,4,5,6,7,8,8a-octahydro-1,3-dioxo-4,8-ethenocyclohepta[c]pyrrol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



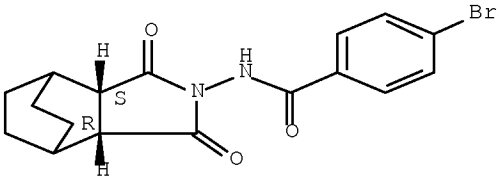
RN 959922-82-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



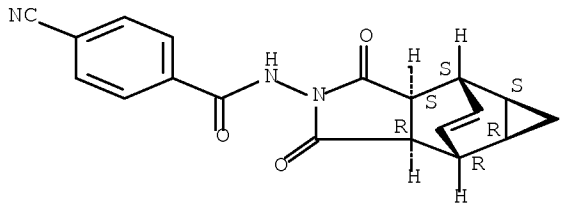
RN 959922-85-1 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



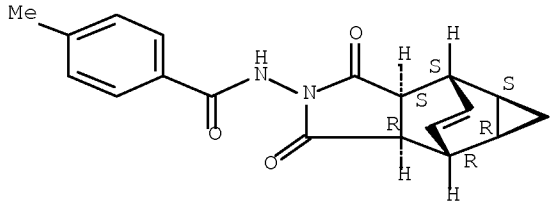
RN 959922-88-4 CAPLUS
CN Benzamide, 4-cyano-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



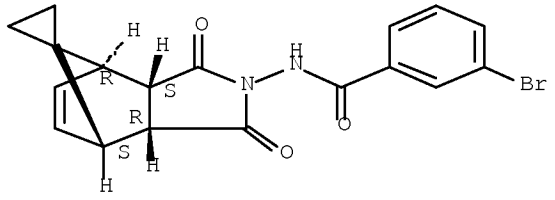
RN 959922-95-3 CAPLUS
CN Benzamide, 4-methyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 959922-98-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3'aR,4'S,7'R,7'aS)-1',3',3',4',7',7'a-hexahydro-1',3'-dioxospiro[cyclopropane-1,8'-[4,7]methano[2H]isoindol]-2'-yl]-, rel- (CA INDEX NAME)

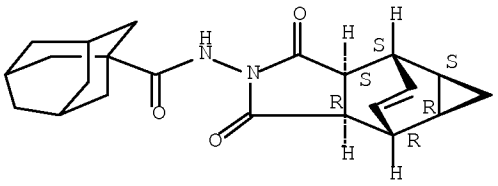
Relative stereochemistry.



RN 959923-00-3 CAPLUS

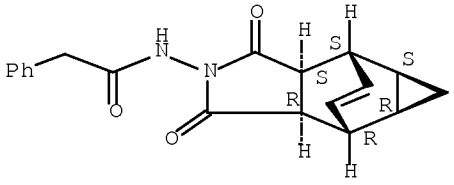
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide,
N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



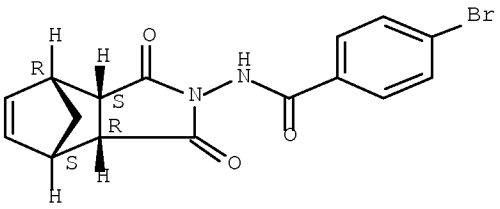
RN 959923-03-6 CAPLUS
CN Benzeneacetamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



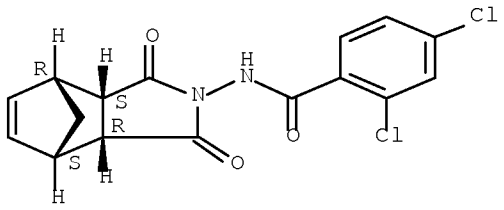
RN 959923-05-8 CAPLUS
CN Benzamide, 4-bromo-N-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



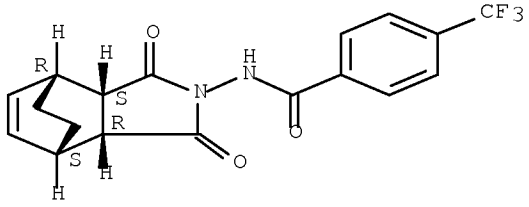
RN 959923-06-9 CAPLUS
CN Benzamide, 2,4-dichloro-N-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



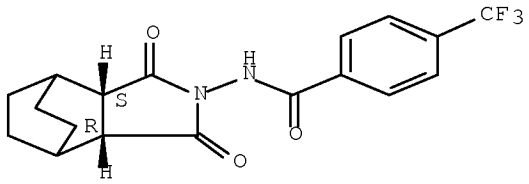
RN 959923-07-0 CAPLUS
CN Benzamide, N-[(3aR,4S,7R,7aS)-1,3,3a,4,5,6,7,7a-octahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



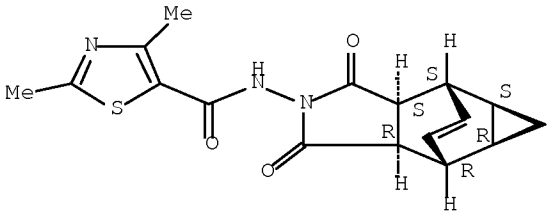
RN 959923-08-1 CAPLUS
CN Benzamide, N-[(3aR,7aS)-octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



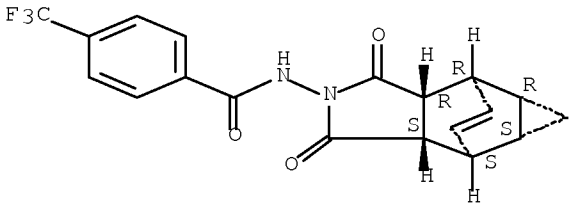
RN 959923-20-7 CAPLUS
CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 869572-92-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoindole derivs. for treatment and prevention of orthopoxvirus infections)
RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1283641 CAPLUS Full-text
DOCUMENT NUMBER: 148:69143
TITLE: Synergistic efficacy of the combination of ST-246 with CMX001 against orthopoxviruses
AUTHOR(S): Quenelle, Debra C.; Prichard, Mark N.; Keith, Kathy A.; Hruby, Dennis E.; Jordan, Robert; Painter, George R.; Robertson, Alice; Kern, Earl R.
CORPORATE SOURCE: University of Alabama School of Medicine, Birmingham, AL, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2007), 51(11), 4118-4124
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The combination of ST-246 and hexadecyloxypropyl-cidofovir or CMX001 was evaluated for synergistic activity in vitro against vaccinia virus and cowpox virus (CV) and in vivo against CV. In cell culture the combination was highly synergistic against both viruses, and the results suggested that combined treatment with these agents might offer superior efficacy in vivo. For animal models, ST-246 was administered orally with or without CMX001 to mice lethally infected with CV. Treatments began 1, 3, or 6 days postinfection using lower

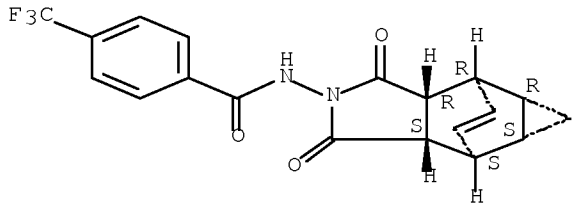
dosages than previously used for single-drug treatment. ST-246 was given at 10, 3, or 1 mg/kg of body weight with or without CMX001 at 3, 1, or 0.3 mg/kg to evaluate potential synergistic interactions. Treatment beginning 6 days post-viral inoculation with ST-246 alone only increased the mean day to death at 10 or 3 mg/kg but had no effect on survival. CMX001 alone also had no effect on survival. When the combination of the two drugs was begun 6 days after viral infection using various dosages of the two, a synergistic reduction in mortality was observed No evidence of increased toxicity was noted with the combination either in vitro or in vivo. These results indicate that combinations of ST-246 and CMX001 are synergistic both in vitro and in vivo and suggest that combination therapy using ST-246 and CMX001 for treatment of orthopoxvirus disease.

IT 869572-92-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST 246; synergistic efficacy of the combination of ST-246 with CMX001 against orthopoxviruses)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1179956 CAPLUS Full-text

DOCUMENT NUMBER: 148:68745

TITLE: The design and development of drugs acting against the smallpox virus

AUTHOR(S): El Omari, Kamel; Stammers, David K.

CORPORATE SOURCE: Division of Structural Biology, The Wellcome Trust Centre for Human Genetics, University of Oxford, Oxford, OX3 7BN, UK

SOURCE: Expert Opinion on Drug Discovery (2007), 2(9), 1263-1272
CODEN: EODDBX; ISSN: 1746-0441

PUBLISHER: Informa Healthcare

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. The eradication of smallpox was announced by the WHO in 1980. However, smallpox has not totally disappeared from people's minds because of its potential use as a biol. weapon. Further outbreaks of smallpox would, needless to say, be devastating in a population, which has little or no immune

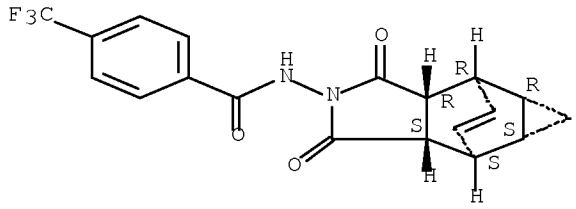
defense against the virus. The real concerns come from the fact that the previously used vaccine would not be tolerated today by a number of patients and, more worryingly, there are no approved antiviral drugs against smallpox. This review is focused on the antiviral research, which has been stimulated to deliver potent inhibitors of the replication of the causative agent of smallpox, variola virus.

IT 869572-92-9, ST 246
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST-246 may be effective in treatment of patient with smallpox)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:763864 CAPLUS Full-text

DOCUMENT NUMBER: 147:166336

TITLE: Erastin analogs and their preparation, pharmaceutical compositions and use in the treatment of cancer and other conditions characterized by hyperproliferation of cells

INVENTOR(S): Stockwell, Brent R.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 174pp., Cont.-in-part of Appl. No. PCT/US2006/002723.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070161644	A1	20070712	US 2006-492546	20060724
WO 2006081337	A2	20060803	WO 2006-US2723	20060125
WO 2006081337	A3	20070215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,

VN, YU, ZA, ZM, ZW
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WO 2008013840 A2 20080131 WO 2007-US16702 20070724
WO 2008013840 A3 20081224
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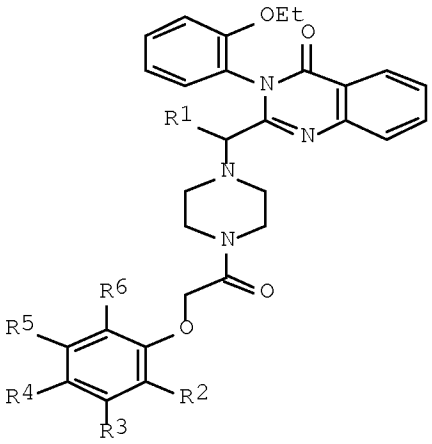
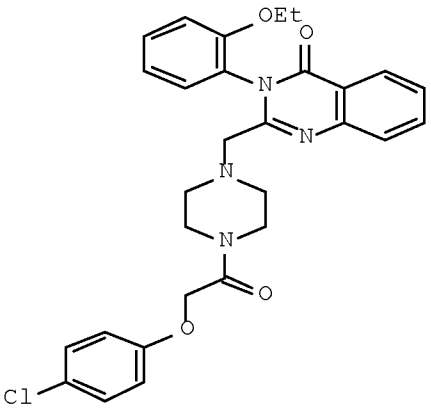
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2005-647372P P 20050125
WO 2006-US2723 A2 20060125
US 2006-762221P P 20060124
US 2006-492546 A 20060724
OTHER SOURCE(S): CASREACT 147:166336; MARPAT 147:166336
GI



I



II

AB The present invention relates to erastin analogs, particularly compds. of formula I. The invention also relates to pharmaceutical compns. containing such analogs and to methods of treating a condition in a mammal with such analogs and compns. Compds. of formula I wherein R1 is H, C1-8 alkyl, C1-8 alkoxy, 3- to 8-membered carboxylic, and 3- to 8-membered heterocyclic, (hetero)aryl, etc.; R2, R3, R4, R5 and R6 are independently H, halo, C1-4 alkyl(amino), acyl, and alkylsulfonyl; and their enantiomers, optical isomers, diastereoisomers, N-oxides, crystalline forms, hydrates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a

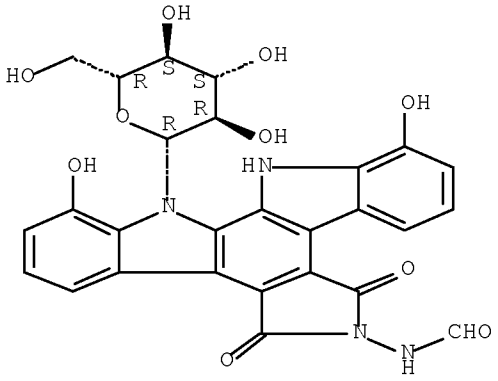
multistep procedure (procedure given). All the invention compds. were evaluated for their anticancer activity.

IT 151069-12-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(codrug; preparation of erastin analogs and uses for treating cancer or other conditions characterized by hyperproliferation of cells)

RN 151069-12-4 CAPLUS

CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:589919 CAPLUS Full-text

DOCUMENT NUMBER: 147:203018

TITLE: Discovery of novel inhibitors targeting enoyl-acyl carrier protein reductase in Plasmodium falciparum by structure-based virtual screening

AUTHOR(S): Nicola, George; Smith, Colin A.; Lucumi, Edinson; Kuo, Mack R.; Karagyozov, Luchezar; Fidock, David A.; Sacchettini, James C.; Abagyan, Ruben

CORPORATE SOURCE: Department of Molecular Biology, Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Biochemical and Biophysical Research Communications (2007), 358(3), 686-691
CODEN: BBRCA9; ISSN: 0006-291X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

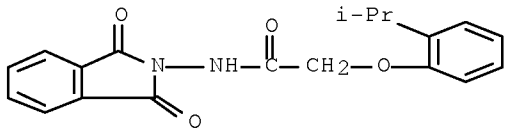
AB There is a dire need for novel therapeutics to treat the virulent malarial parasite, Plasmodium falciparum. Recently, the x-ray crystal structure of enoyl-acyl carrier protein reductase (ENR) in complex with triclosan has been determined and provides an opportunity for the rational design of novel inhibitors targeting the active site of ENR. Here, we report the discovery of several compds. by virtual screening and their exptl. validation as high potency PfENR inhibitors.

IT 650612-33-2
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibitors targeting enoyl-acyl carrier protein reductase in Plasmodium falciparum by structure-based virtual screening)

RN 650612-33-2 CAPLUS

CN Acetamide, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-2-[2-(1-methylethyl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:510984 CAPLUS Full-text

DOCUMENT NUMBER: 147:86388

TITLE: Efficacy of the antipoxvirus compound ST-246 for treatment of severe orthopoxvirus infection

AUTHOR(S): Sbrana, Elena; Jordan, Robert; Hruby, Dennis E.; Mateo, Rosa I.; Xiao, Shu-Yuan; Siirin, Marina; Newman, Patrick C.; Da Rosa, Amelia P. A. Travassos; Tesh, Robert B.

CORPORATE SOURCE: Departments of Pathology and Internal Medicine and Center for Biodefense and Emerging Infectious Diseases, University of Texas Medical Branch, Galveston, TX, USA

SOURCE: American Journal of Tropical Medicine and Hygiene (2007), 76(4), 768-773
CODEN: AJTHAB; ISSN: 0002-9637

PUBLISHER: American Society of Tropical Medicine and Hygiene

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Efficacy of the new antipoxvirus compound ST-246 was evaluated as treatment of monkeypox (MPX) virus infection in a ground squirrel model of the disease. Ground squirrels were given a LD of MPX virus and were then treated orally at various times post-inoculation (pi) with 100 mg/kg/day of ST-246. Morbidity and mortality, clin. laboratory results, viral load, and pathol. of placebo and treatment groups were compared. All animals that started treatment with ST-246 on days 0, 1, 2, and 3 pi survived lethal challenge with MPX virus; 67% of animals treated on day 4 pi also survived. In contrast, 100% of the placebo group died. Most of the ST-246-treated animals showed no evidence of clin. disease or alteration of baseline clin. laboratory values and had minimal histopathol. changes. These results suggest that ST-246 is a promising candidate for early treatment of severe orthopoxvirus infection.

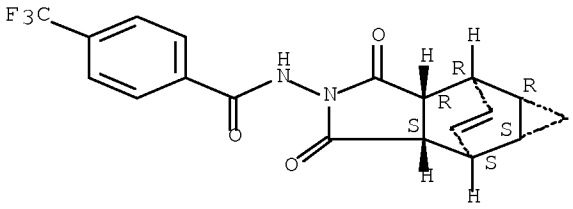
IT 869572-92-9, ST-246
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy of antipoxvirus compound ST-246 for treatment of severe monkeypox virus infection in ground squirrel model)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel-

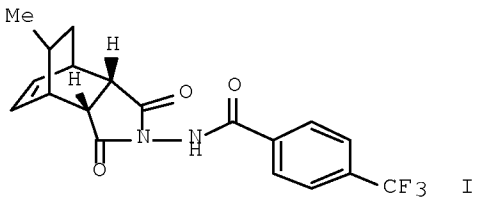
(CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:242088 CAPLUS Full-text
DOCUMENT NUMBER: 146:474748
TITLE: N-(3,3a,4,4a,5,5a,6,6a-Octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2-(1H)-yl)carboxamides: Identification of Novel Orthopoxvirus Egress Inhibitors
AUTHOR(S): Bailey, Thomas R.; Rippin, Susan R.; Opsitnick, Elizabeth; Burns, Christopher J.; Pevear, Daniel C.; Collett, Marc S.; Rhodes, Gerry; Tohan, Sanjeev; Huggins, John W.; Baker, Robert O.; Kern, Earl R.; Keith, Kathy A.; Dai, Dongcheng; Yang, Guang; Hruby, Dennis; Jordan, Robert
CORPORATE SOURCE: ViroPharma Incorporated, Exton, PA, 19341, USA
SOURCE: Journal of Medicinal Chemistry (2007), 50(7), 1442-1444
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:474748
GI



I

AB A series of novel, potent orthopoxvirus egress inhibitors was identified during high-throughput screening of the ViroPharma small mol. collection. Using structure-activity relationship information inferred from early hits,

several compds. were synthesized, and compound 14 was identified as a potent, orally bioavailable first-in-class inhibitor of orthopoxvirus egress from infected cells. Compound (I) has shown comparable efficaciousness in three murine orthopoxvirus models and has entered Phase I clin. trials.

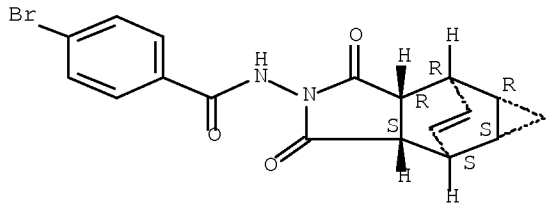
IT 935766-07-7P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(octahydrodioxoethenocyclopropisoindol carboxamides as orthopoxvirus egress inhibitors)

RN 935766-07-7 CAPLUS

CN Benzamide, 4-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



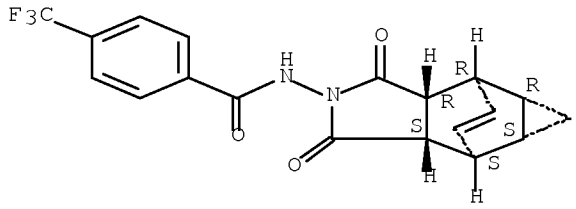
IT 869572-92-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(octahydrodioxoethenocyclopropisoindol carboxamides as orthopoxvirus egress inhibitors)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 935765-96-1P 935765-97-2P 935765-98-3P
935765-99-4P 935766-00-0P 935766-01-1P
935766-02-2P 935766-03-3P 935766-04-4P
935766-05-5P 935766-06-6P 935766-09-9P
935766-10-2P 935766-11-3P

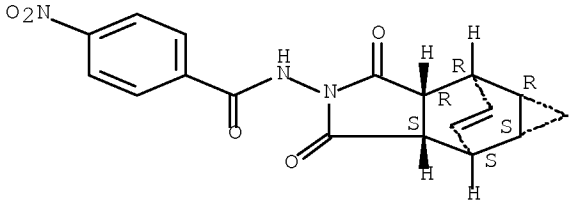
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(octahydrodioxoethenocyclopropisoindol carboxamides as orthopoxvirus egress inhibitors)

RN 935765-96-1 CAPLUS

CN Benzamide, 4-nitro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

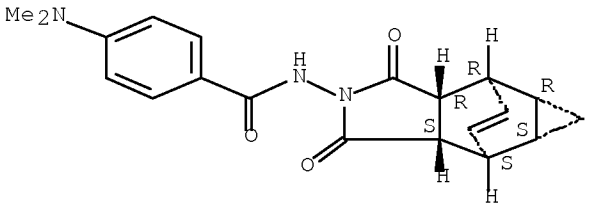
Relative stereochemistry.



RN 935765-97-2 CAPLUS

CN Benzamide, 4-(dimethylamino)-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

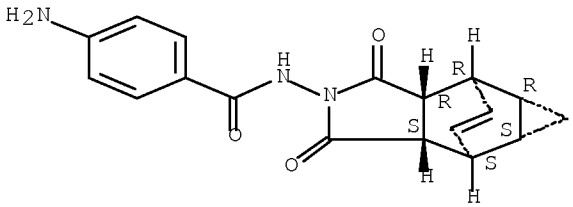
Relative stereochemistry.



RN 935765-98-3 CAPLUS

CN Benzamide, 4-amino-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

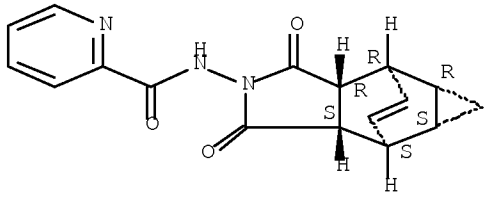
Relative stereochemistry.



RN 935765-99-4 CAPLUS

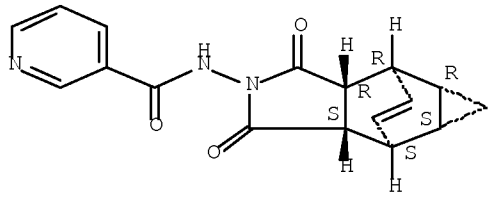
CN 2-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



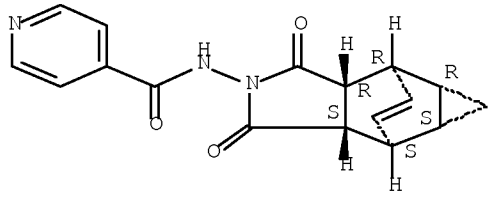
RN 935766-00-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



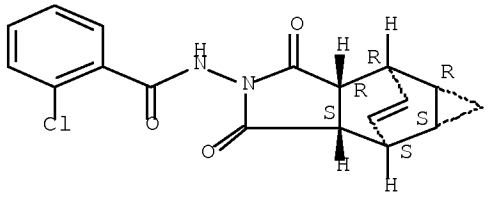
RN 935766-01-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



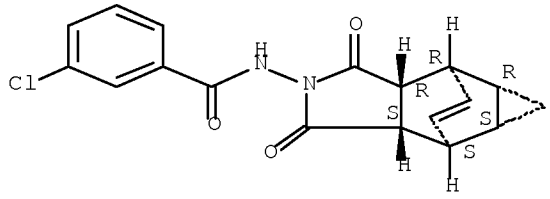
RN 935766-02-2 CAPLUS
CN Benzamide, 2-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



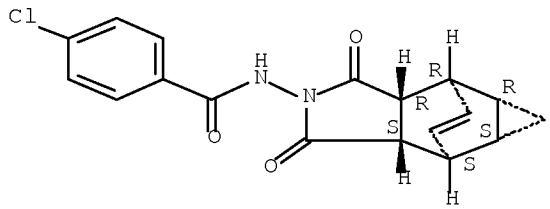
RN 935766-03-3 CAPLUS
CN Benzamide, 3-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



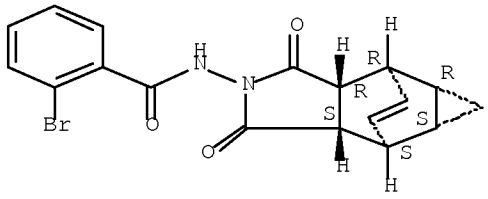
RN 935766-04-4 CAPLUS
CN Benzamide, 4-chloro-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



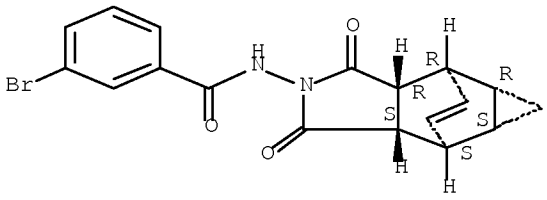
RN 935766-05-5 CAPLUS
CN Benzamide, 2-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



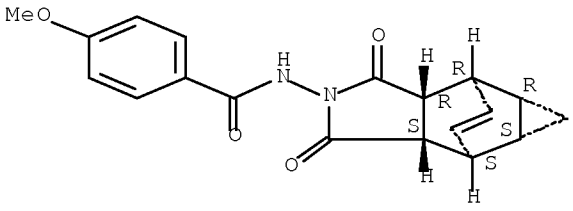
RN 935766-06-6 CAPLUS
CN Benzamide, 3-bromo-N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



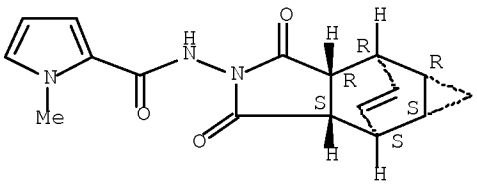
RN 935766-09-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



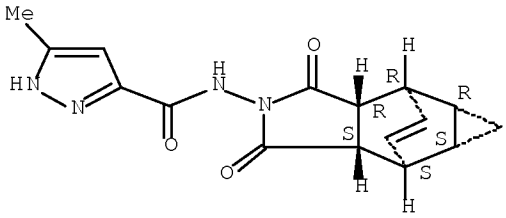
RN 935766-10-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-1-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



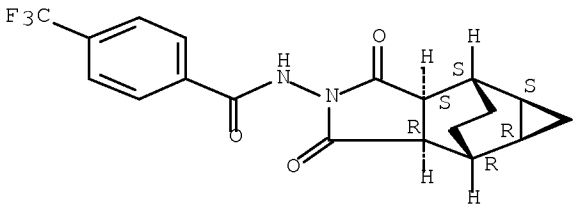
RN 935766-11-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 945962-36-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(octahydrodioxoethenocyclopropisoindol carboxamides as orthopoxvirus egress inhibitors)
RN 945962-36-7 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:175441 CAPLUS Full-text
DOCUMENT NUMBER: 146:229616
TITLE: Preparation of macrocyclic inhibitors of hepatitis C

virus

INVENTOR(S): Waehling, Horst; Samuelsson, Bertil
PATENT ASSIGNEE(S): Medivir AB, Swed.
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007017144	A2	20070215	WO 2006-EP7514	20060728
WO 2007017144	A3	20071129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1910347	A2	20080416	EP 2006-762894	20060728
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009502845	T	20090129	JP 2008-523260	20060728
MX 2008001254	A	20080515	MX 2008-1254	20080125
US 20090023758	A1	20090122	US 2008-997082	20080128
IN 2008DN01450	A	20080808	IN 2008-DN1450	20080220
CN 101273038	A	20080924	CN 2006-80035599	20080326

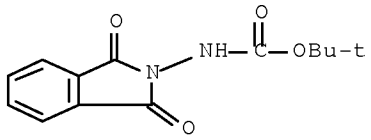
PRIORITY APPLN. INFO.: EP 2005-107059 A 20050729
WO 2006-EP7514 W 20060728

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:229616; MARPAT 146:229616
GI

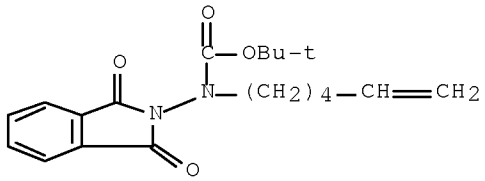
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to macrocyclic compds. I [the dashed line represents an optional double bond; X is (CH2)3-6; L is N, CH, or C; A is OH, OR4 (R4 is alkyl, alkylenecarbo- or alkyleneheterocyclyl), NHSO1-2R5 (R5 is a group R4 or NRaRb, where Ra is H, alkyl, or alkoxy and Rb is H, alkoxy, or a group R4), NHR6 (R6 is a group R4, alkoxy, -O-alkylenecarbo- or -heterocyclyl), NRaRb, CONHR6, or CONRaRb; W is CH2, O, O2CNH, O2C, S, NH, NRa, NHSO2, NHCONH, NHCO, NHC(S), or a bond; R1 is a ring system containing 1 or 2 rings each having 4-7 ring atoms each of which has 0-4 hetero atoms independently selected from S, O and N, the ring system being optionally spaced from W by a C1-C3 alkylene group; or R1 is alkyl; R2 is H, alkyl; R3, R3' are alkyl], including N-oxides, salts, and stereoisomers, which have utility in the inhibition of NS3 serine proteases such as flavivirus infections. Thus, macrocycle II was prepared by a multistep procedure which includes ring-forming metathesis reaction and assayed for inhibition of HCV NS3/4A protease (EC50 0.5-49 nM, Ki 0.1-4.9 nM).

IT 34387-89-8P 924289-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of macrocyclic inhibitors of hepatitis C virus)
RN 34387-89-8 CAPLUS
CN Carbamic acid, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 924289-27-0 CAPLUS
CN Carbamic acid, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-5-hexen-1-yl-, 1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L9 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:148013 CAPLUS Full-text
DOCUMENT NUMBER: 146:197856
TITLE: Efficacy of delayed treatment with ST-246 given orally against systemic orthopoxvirus infections in mice
AUTHOR(S): Quenelle, Debra C.; Buller, R. M. L.; Parker, Scott; Keith, Kathy A.; Hruby, Dennis E.; Jordan, Robert; Kern, Earl R.
CORPORATE SOURCE: University of Alabama School of Medicine, Birmingham, AL, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2007), 51(2), 689-695
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ST-246 was evaluated for activity against cowpox virus (CV), vaccinia virus (VV), and ectromelia virus (ECTV) and had an in vitro 50% effective concentration (EC50) of 0.48 μM against CV, 0.05 μM against VV, and 0.07 μM against ECTV. The selectivity indexes were >208 and >2,000 for CV and VV, resp. The in vitro antiviral activity of ST-246 was significantly greater than that of cidofovir, which had an EC50 of 41.1 μM against CV and 29.2 μM against VV, with selectivity indexes of >7 and >10, resp. ST-246 administered

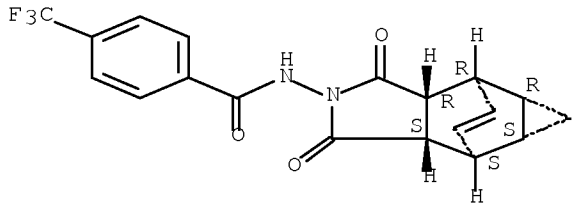
once daily by oral gavage to mice infected intranasally with CV beginning 4 h or delayed until 72 h postinoculation was highly effective when given for a 14-day duration using 100, 30, or 10 mg/kg of body weight When 100 mg/kg of ST-246 was administered to VV-infected mice, a duration of 5 days was sufficient to significantly reduce mortality even when treatment was delayed 24 h postinoculation. Viral replication in liver, spleen, and kidney, but not lung, of CV- or VV-infected mice was reduced by ST-246 compared to levels for vehicle-treated mice. When 100 mg/kg of ST-246 was given once daily to mice infected by the intranasal route with ECTV, treatment for 10 days prevented mortality even when treatment was delayed up to 72 h after viral inoculation. Viral replication in target organs of ECTV-infected mice was also reduced.

IT 869572-92-9, ST 246
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ST 246; delayed treatment with ST-246 against systemic orthopoxvirus infections)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 31 THERE ARE 31 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:768302 CAPLUS Full-text

DOCUMENT NUMBER: 145:224844

TITLE: Erastin and erastin binding proteins, and uses thereof

INVENTOR(S): Becklin, Robert R.; Chepanoske, Cindy Lou; Pelter, John M.; Qi, Longwu; Robbins, Paul B.; Sahasrabudhe, Sudhir R.; Selliah, Robert; Simmons, Keith; Stockwell, Brent R.; Venkat, Raj Gopal; Von Rechenberg, Moritz; Zhen, Eugene

PATENT ASSIGNEE(S): Prolexys Pharmaceuticals, Inc., USA; Whitehead Institute for Biomedical Research; Columbia University in the City of New York

SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006081331	A2	20060803	WO 2006-US2717		20060125
WO 2006081331	A3	20070607			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA					
AU 2006208084	A1	20060803	AU 2006-208084		20060125
CA 2595848	A1	20060803	CA 2006-2595848		20060125
US 20060211683	A1	20060921	US 2006-340430		20060125
US 7615554	B2	20091110			
EP 1848698	A2	20071031	EP 2006-733907		20060125
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
JP 2008531476	T	20080814	JP 2007-552406		20060125
BR 2006007306	A2	20090825	BR 2006-7306		20060125
MX 2007008931	A	20080829	MX 2007-8931		20070724
IN 2007DN06406	A	20070831	IN 2007-DN6406		20070817
KR 2008009048	A	20080124	KR 2007-719501		20070824
CN 101218211	A	20080709	CN 2006-80009733		20070925
US 20090214465	A1	20090827	US 2009-883092		20090108
PRIORITY APPLN. INFO.:			US 2005-647303P	P	20050125
			US 2006-762221P	P	20060124
			WO 2006-US2717	W	20060125

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:224844; MARPAT 145:224844

AB The invention relates to methods of screening for binding partners, especially binding partners essential for the biol. activity of erastin (e.g. VDACs such as VDAC3). The invention also provides reagents and methods for effective killing of cancer cells with erastin and related compds. or derivs.

IT 151069-12-4, NB-506

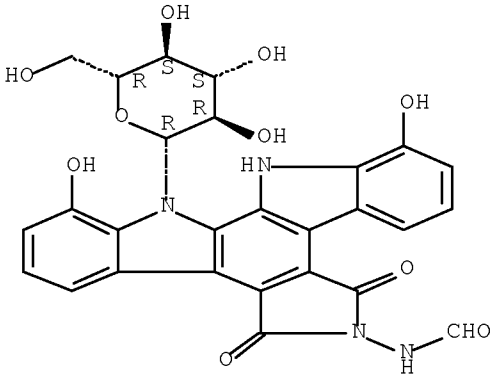
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(erastin analogs and erastin-binding proteins such as VDAC and uses thereof to treat cancer and combination with other agents in relation to drug screening)

RN 151069-12-4 CAPLUS

CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:768301 CAPLUS Full-text
DOCUMENT NUMBER: 145:202874
TITLE: Erastin and erastin binding proteins, and uses thereof
INVENTOR(S): Stockwell, Brent R.
PATENT ASSIGNEE(S): Whitehead Institute for Biomedical Research, USA; The Trustees of Columbia University in the City of New York
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006081337	A2	20060803	WO 2006-US2723		20060125
WO 2006081337	A3	20070215			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
JP 2008537726	T	20080925	JP 2007-553218		20060125
US 20070161644	A1	20070712	US 2006-492546		20060724
PRIORITY APPLN. INFO.:			US 2005-647372P	P	20050125
			US 2006-762221P	P	20060124
			WO 2006-US2723	W	20060125

OTHER SOURCE(S): MARPAT 145:202874

AB The invention relates to methods of screening for binding partners, especially binding partners essential for the biol. activity of erastin (e.g. VDACs such

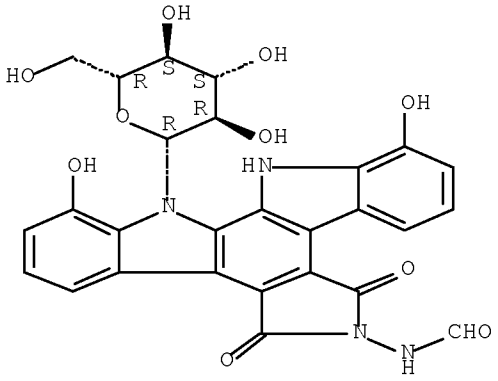
as VDAC3). The invention also provides reagents and methods for effective killing of cancer cells with erastin and related compds. or derivs.

IT 151069-12-4, NB-506
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(erastin analogs and erastin-binding proteins such as VDAC and uses thereof to treat cancer and combination with other agents)

RN 151069-12-4 CAPLUS

CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:108925 CAPLUS Full-text

DOCUMENT NUMBER: 144:331215

TITLE: Synthesis of some heterocycle containing urea derivatives and their anti-viral activity

AUTHOR(S): Verma, Manjusha; Singh, Krishna N.; Clercq, Erik D.

CORPORATE SOURCE: Department of Applied Chemistry, Institute of Technology, Banaras Hindu University, Varanasi, 221005, India

SOURCE: Heterocycles (2006), 68(1), 11-22
CODEN: HTCYAM; ISSN: 0385-5414

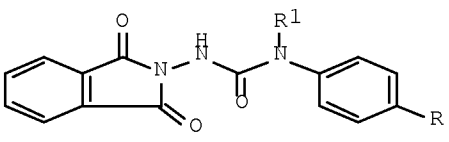
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:331215

GI

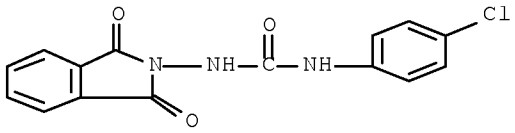


I

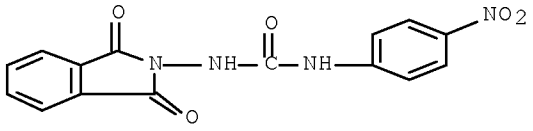
AB Isoindolylureas I [R = Cl, Br, NO2, R1 = H, Me; R = F, CO2H, OMe, R1 = H] were prepared from N-aminophthalimide and 4-RC6H4NR1CO2Et. All I were evaluated for anti-viral activity against a variety of viruses. I [R = OMe, R1 = H] showed better activity than the standard drugs against all the viruses. Further, all I were active against Vesicular stomatitis virus, Coxsackie virus B4 and Respiratory syncytial virus and I [R = Br, NO2, R1 = Me] displayed better antiviral activity in comparison to Brivudin and (S)-DHPA.

IT 314282-68-3P 677312-09-3P 677312-11-7P
880884-52-6P 880884-53-7P 880884-54-8P
880884-55-9P 880884-56-0P 880884-57-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-isoindolyl-N'-arylureas and their anti-viral activity)

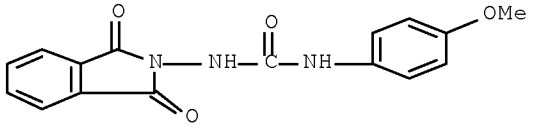
RN 314282-68-3 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



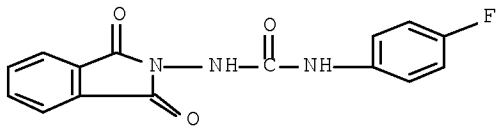
RN 677312-09-3 CAPLUS
CN Urea, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N'-(4-nitrophenyl)- (CA INDEX NAME)



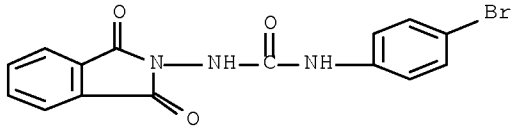
RN 677312-11-7 CAPLUS
CN Urea, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N'-(4-methoxyphenyl)- (CA INDEX NAME)



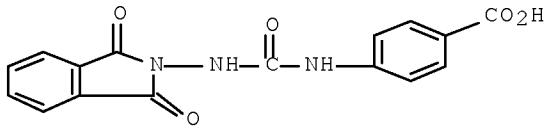
RN 880884-52-6 CAPLUS
CN Urea, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N'-(4-fluorophenyl)- (CA INDEX NAME)



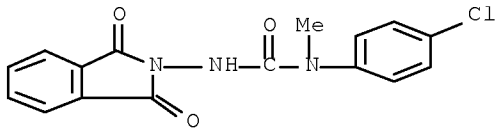
RN 880884-53-7 CAPLUS
CN Urea, N-(4-bromophenyl)-N'-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



RN 880884-54-8 CAPLUS
CN Benzoic acid, 4-[[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)amino]carbonyl]amino]- (CA INDEX NAME)

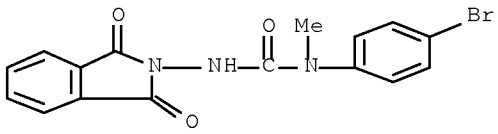


RN 880884-55-9 CAPLUS
CN Urea, N-(4-chlorophenyl)-N'-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-methyl- (CA INDEX NAME)

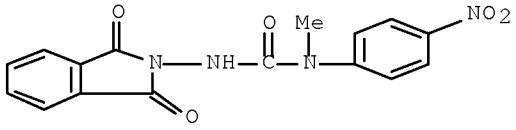


RN 880884-56-0 CAPLUS

CN Urea, N-(4-bromophenyl)-N'-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-methyl- (CA INDEX NAME)



RN 880884-57-1 CAPLUS
CN Urea, N'-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:13464 CAPLUS Full-text
DOCUMENT NUMBER: 144:101073
TITLE: therapeutic uses of kinase inhibitors, and compositions thereof
INVENTOR(S): Caligiuri, Maureen G.; Kley, Nikolai A.; Murthi, Krishna K.
PATENT ASSIGNEE(S): GPC Biotech, Inc., USA
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002119	A2	20060105	WO 2005-US21843	20050617
WO 2006002119	A3	20070222		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2584493	A1	20060105	CA 2005-2584493	20050617
EP 1763345	A2	20070321	EP 2005-762859	20050617
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
EP 2027853	A2	20090225	EP 2008-153670	20050617
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, RS				
US 20080146555	A1	20080619	US 2007-629638	20071220

PRIORITY APPLN. INFO.:	US 2004-580868P	P	20040618
	EP 2005-762859	A3	20050617
	WO 2005-US21843	W	20050617

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:101073

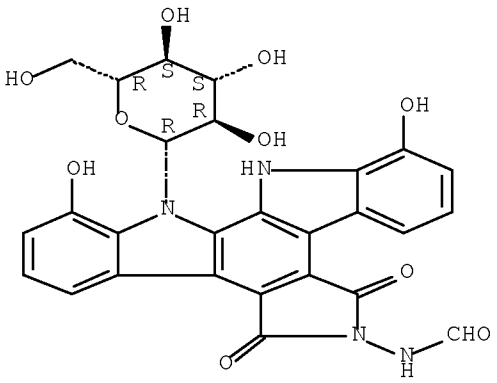
AB The invention pertains to inhibitors of various kinases (e.g. S/T kinases, Tyr kinases, etc.), which inhibitors were previously known as cyclin-dependent kinase inhibitors (CDKs). The inhibitors of the invention are capable of inhibiting various wild-type and mutant form kinases, including drug-resistant forms of mutant kinases. Thus, the kinase inhibitors are useful in treating a wide range of diseases/conditions associated with abnormal functions/excessive activities of the target kinases, including mutant kinases. The invention further provides methods for treating cancers, tumors, and patients which are resistant or refractory to other therapeutic agents. Pharmaceutical compns. and packaged pharmaceuticals with instructions of these inhibitors and methods of using these inhibitors are also provided.

IT 151069-12-4, NB-506
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(kinase inhibitors for therapeutic use)

RN 151069-12-4 CAPLUS

CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1220709 CAPLUS Full-text
DOCUMENT NUMBER: 143:459940
TITLE: Preparation of novel antiviral helioxanthin analogs
INVENTOR(S): Yeo, Hosup; Austin, David J.; Li, Ling; Cheng, Yung-Chi
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005107742	A1	20051117	WO 2005-US14698		20050502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CN 1980657	A	20070613	CN 2005-80022812		20050502
KR 2007011451	A	20070124	KR 2006-723265		20061106
US 20080167353	A1	20080710	US 2007-579284		20070918
PRIORITY APPLN. INFO.:			US 2004-568348P	P	20040505
			WO 2005-US14698	W	20050502

OTHER SOURCE(S): CASREACT 143:459940; MARPAT 143:459940
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

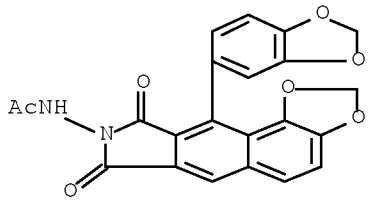
AB The present invention relates to the preparation of novel antiviral helioxanthin analogs, such as I and II [R1, R2 = acyl, alkyl, carboxy, carbamoyl, etc.; R13 = H, OH, alkoxy, alkyl; R15 = H, OH, halogen, alkoxy, alkyl; R3', R4' = alkyl; R3'R4' = alkenylene; -X1-, -X2- = -C(O)-, -CH2-, -CH(OMe)-, etc.; -Y- = -O-, -N(R6a)-, -N(R7)N(R8)-; R6a, R7, R8 = H, OH, alkyl, alkoxy, etc.]. These helioxanthin analogs are claimed for therapeutic use in the treatment of infections of viruses, such as hepadnaviruses, flaviviruses, herpes viruses and human immunodeficiency viruses. These helioxanthin analogs may be administered in combination with other antiviral agents from the group consisting of AZT, ddC, ddI, d4T, 3TC, delvaridine, nevirapine, and efravirenz saquinavir, ritonavir, indinavir, nelfinavir or amprenivir. These compds. can also be used to prevent or reduce the likelihood of the occurrence of tumors secondary to virus infection as well as other infections or disease states that are secondary to the virus infection. Thus, the helioxanthin analog 10-benzo[1,3]dioxol-5-yl-1,3-dioxa-8-azadicyclopenta[a,g]naphthalene-7,9- dione

(III) was prepared in 17% yield by a cyclization reaction of hydroxyacetal IV and maleimide using AcOH/(Ac)2O in CH2Cl2. The prepared helioxanthin analogs were assayed in vitro for activity against a number of human viruses, such as HIV, HSV-1, HSV-2 HBV, HCV, EBV and CMV, and for cytotoxicity against HepG2 and CEM tumor cells.

IT 840529-10-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel antiviral and antitumor helioxanthin analogs)

RN 840529-10-4 CAPLUS

CN Acetamide, N-[10-(1,3-benzodioxol-5-yl)-7,9-dihydro-7,9-dioxo-8H-1,3-dioxolo[3,4]benz[1,2-f]isoindol-8-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1111364 CAPLUS Full-text

DOCUMENT NUMBER: 144:228

TITLE: An orally bioavailable antipoxvirus compound (ST-246) inhibits extracellular virus formation and protects mice from lethal orthopoxvirus challenge

AUTHOR(S): Yang, Guang; Pevear, Daniel C.; Davies, Marc H.; Collett, Marc S.; Bailey, Tom; Rippen, Susan; Barone, Linda; Burns, Chris; Rhodes, Gerry; Tohan, Sanjeev; Huggins, John W.; Baker, Robert O.; Buller, R. L. Mark; Touchette, Erin; Waller, Kem; Schriewer, Jill; Neyts, Johan; DeClercq, Erik; Jones, Kevin; Hruby, Dennis; Jordan, Robert

CORPORATE SOURCE: ViroPharma, Inc., Exton, PA, USA

SOURCE: Journal of Virology (2005), 79(20), 13139-13149
CODEN: JOVIAM; ISSN: 0022-538X

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB ST-246 is a low-mol.-weight compound (mol. weight = 376), that is potent (concentration that inhibited virus replication by 50% = 0.010 μM), selective (concentration of compound that inhibited cell viability by 50% = >40 μM), and active against multiple orthopoxviruses, including vaccinia, monkeypox, camelpox, cowpox, ectromelia (mousepox), and variola viruses. Cowpox virus variants selected in cell culture for resistance to ST-246 were found to have a single amino acid change in the V061 gene. Reengineering this change back into the wild-type cowpox virus genome conferred resistance to ST-246, suggesting that V061 is the target of ST-246 antiviral activity. The cowpox virus V061 gene is homologous to vaccinia virus F13L, which encodes a major envelope protein (p37) required for production of extracellular virus. In

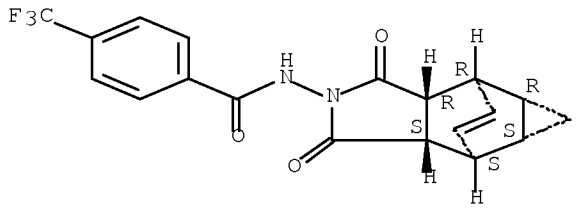
cell culture, ST-246 inhibited plaque formation and virus-induced cytopathic effects. In single-cycle growth assays, ST-246 reduced extracellular virus formation by 10-fold relative to untreated controls, while having little effect on the production of intracellular virus. In vivo oral administration of ST-246 protected BALB/c mice from lethal infection, following intranasal inoculation with 10+ 50% LD (LD50) of vaccinia virus strain IHD-J. ST-246-treated mice that survived infection acquired protective immunity and were resistant to subsequent challenge with a LD (10+ LD50) of vaccinia virus. Orally administered ST-246 also protected A/NCr mice from lethal infection, following intranasal inoculation with 40,000+ LD50 of ectromelia virus. Infectious virus titers at day 8 postinfection in liver, spleen, and lung from ST-246-treated animals were below the limits of detection (<10 PFU/mL). In contrast, mean virus titers in liver, spleen, and lung tissues from placebo-treated mice were 6.2 + 107, 5.2 + 107, and 1.8 + 105 PFU/mL, resp. Finally, oral administration of ST-246 inhibited vaccinia virus-induced tail lesions in Naval Medical Research Institute mice inoculated via the tail vein. Taken together, these results validate F13L as an antiviral target and demonstrate that an inhibitor of extracellular virus formation can protect mice from orthopoxvirus-induced disease.

IT 869572-92-9, ST 246
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(orally bioavailable antipoxvirus compound (ST-246) inhibits extracellular virus formation and protects mice from lethal orthopoxvirus challenge)

RN 869572-92-9 CAPLUS

CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 67 THERE ARE 67 CAPLUS RECORDS THAT CITE THIS RECORD (67 CITINGS)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1156449 CAPLUS Full-text

DOCUMENT NUMBER: 142:86610

TITLE: Compounds, compositions and methods for treatment and prevention of orthopoxvirus infections and associated diseases using di-, tri-, and tetracyclic acylhydrazide derivatives and analogs

INVENTOR(S): Jordan, Robert; Bailey, Thomas R.; Rippin, Susan R.

PATENT ASSIGNEE(S): Viropharma Incorporated, USA

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112718	A2	20041229	WO 2004-US19552	20040618
WO 2004112718	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004249250	A1	20041229	AU 2004-249250	20040618
CA 2529761	A1	20041229	CA 2004-2529761	20040618
EP 1638938	A2	20060329	EP 2004-776765	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007521273	T	20070802	JP 2006-517409	20040618
US 20060235051	A1	20061019	US 2006-561153	20060405
US 20080103181	A9	20080501		
US 20070287735	A1	20071213	US 2007-785998	20070423
US 20080004452	A1	20080103	US 2007-785997	20070423
CA 2685198	A1	20080703	CA 2007-2685198	20070423

PRIORITY APPLN. INFO.:	US 2003-480182P	P	20030620
	WO 2004-US19552	W	20040618
	US 2006-561153	A2	20060405
	WO 2007-US9750	W	20070423

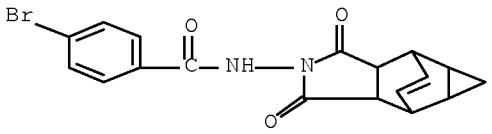
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:86610

AB Methods of using di, tri, and tetracyclic acylhydrazide derivs. and analogs, as well as pharmaceutical compns. containing the same, are disclosed for the treatment or prophylaxis of viral infections and diseases associated therewith, particularly those viral infections and associated diseases cased by the orthopoxvirus. 4-Trifluoromethyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6- ethenocycloprop[f]isoindol-2(1H)-yl)benzamide (prepared from cycloheptatriene, maleic anhydride and 4-trifluoromethylbenzhydrazide in two steps) inhibited vaccinia virus and cowpox virus with EC50 values of <0.5 μM.

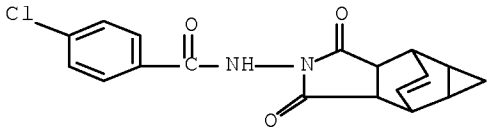
IT 302780-38-7P 313270-75-6P 323177-91-9P
323203-24-3P 342417-34-9P 342417-71-4P
432022-23-6P 432022-24-7P 816458-32-9P
816458-33-0P 816458-34-1P 816458-35-2P
816458-36-3P 816458-37-4P 816458-38-5P
816458-39-6P 816458-40-9P 816458-41-0P
816458-42-1P 816458-43-2P 816458-45-4P
816458-46-5P 816458-57-8P 869572-92-9P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(di-, tri-, and tetracyclic acylhydrazide derivs. and analogs for treatment and prevention of orthopoxvirus infections and associated diseases)

RN 302780-38-7 CAPLUS

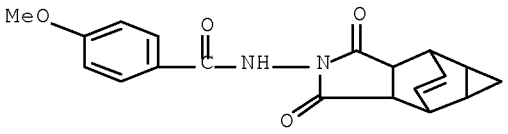
CN Benzamide, 4-bromo-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



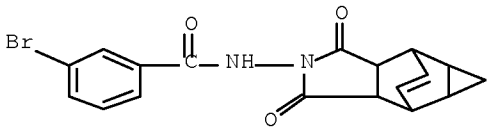
RN 313270-75-6 CAPLUS
CN Benzamide, 4-chloro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



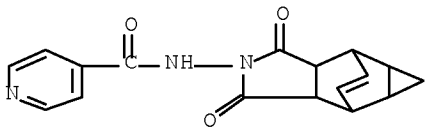
RN 323177-91-9 CAPLUS
CN Benzamide, 4-methoxy-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



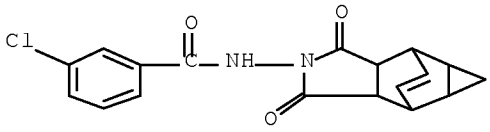
RN 323203-24-3 CAPLUS
CN Benzamide, 3-bromo-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



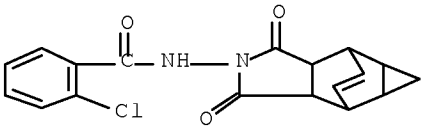
RN 342417-34-9 CAPLUS
CN 4-Pyridinecarboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



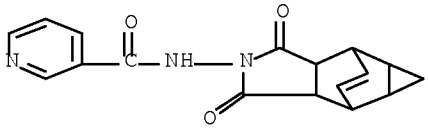
RN 342417-71-4 CAPLUS
CN Benzamide, 3-chloro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



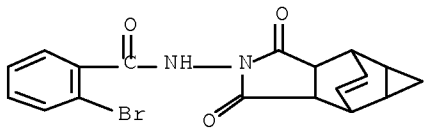
RN 432022-23-6 CAPLUS
CN Benzamide, 2-chloro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



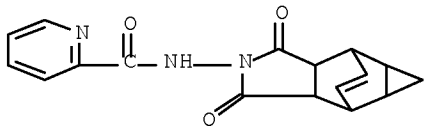
RN 432022-24-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



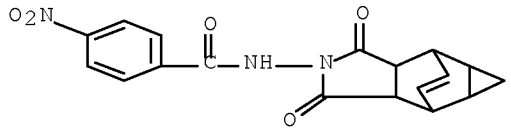
RN 816458-32-9 CAPLUS
CN Benzamide, 2-bromo-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



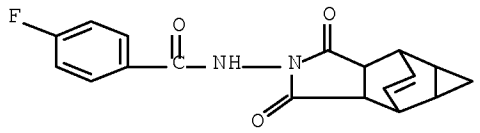
RN 816458-33-0 CAPLUS
CN 2-Pyridinecarboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



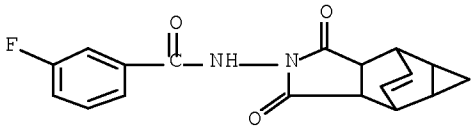
RN 816458-34-1 CAPLUS
CN Benzamide, 4-nitro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



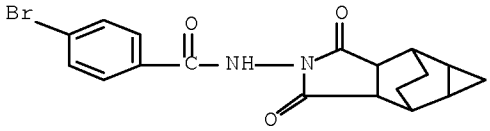
RN 816458-35-2 CAPLUS
CN Benzamide, 4-fluoro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



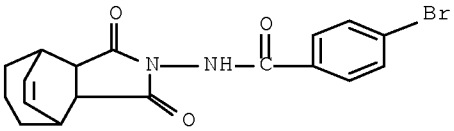
RN 816458-36-3 CAPLUS
CN Benzamide, 3-fluoro-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



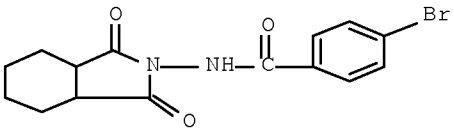
RN 816458-37-4 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



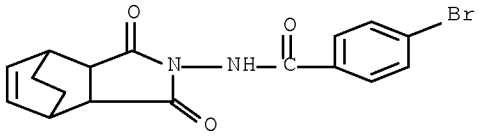
RN 816458-38-5 CAPLUS
CN Benzamide, 4-bromo-N-(3,3a,4,5,6,7,8,8a-octahydro-1,3-dioxo-4,8-ethenocyclohepta[c]pyrrol-2(1H)-yl)- (CA INDEX NAME)



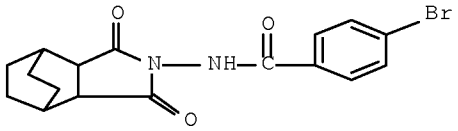
RN 816458-39-6 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-2H-isoindol-2-yl)- (CA INDEX NAME)



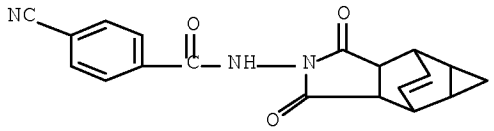
RN 816458-40-9 CAPLUS
CN Benzamide, 4-bromo-N-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl)- (CA INDEX NAME)



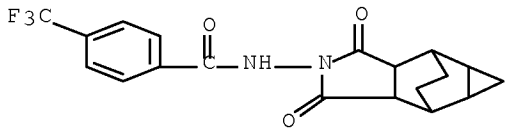
RN 816458-41-0 CAPLUS
CN Benzamide, 4-bromo-N-(octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl)- (CA INDEX NAME)



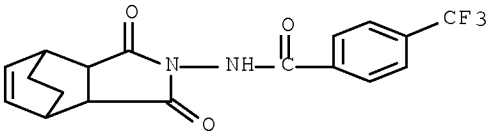
RN 816458-42-1 CAPLUS
CN Benzamide, 4-cyano-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



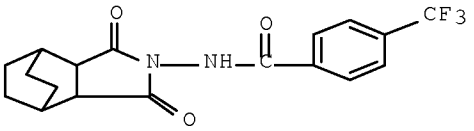
RN 816458-43-2 CAPLUS
CN Benzamide, N-(octahydro-1,3-dioxo-4,6-ethanocycloprop[f]isoindol-2(1H)-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



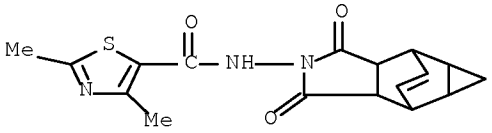
RN 816458-45-4 CAPLUS
CN Benzamide, N-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 816458-46-5 CAPLUS
CN Benzamide, N-(octahydro-1,3-dioxo-4,7-ethano-2H-isoindol-2-yl)-4-(trifluoromethyl)- (CA INDEX NAME)

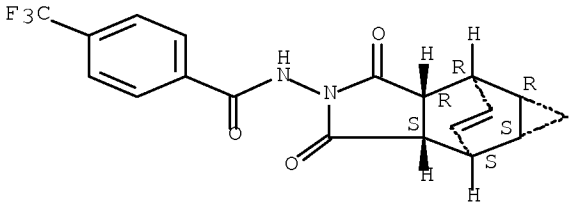


RN 816458-57-8 CAPLUS
CN 5-Thiazolecarboxamide, 2,4-dimethyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



RN 869572-92-9 CAPLUS
CN Benzamide, N-[(3aR,4R,4aR,5aS,6S,6aS)-3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl]-4-(trifluoromethyl)-, rel- (CA INDEX NAME)

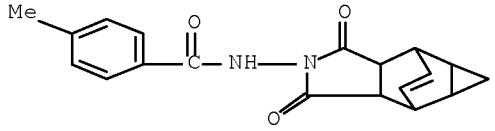
Relative stereochemistry.



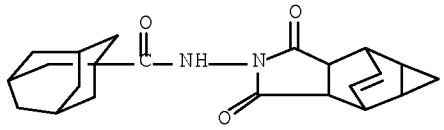
IT 330625-18-8 342417-37-2 342417-62-3
342417-72-5 342608-72-4 816458-44-3
816458-47-6 816458-48-7 816458-49-8

816458-50-1 816458-51-2 816458-52-3
816458-53-4 816458-54-5 816458-55-6
816458-56-7
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(di-, tri-, and tetracyclic acylhydrazide derivs. and analogs for treatment and prevention of orthopoxvirus infections and associated diseases)

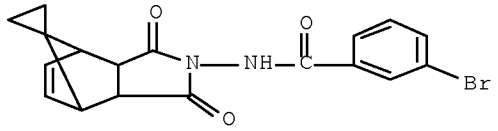
RN 330625-18-8 CAPLUS
CN Benzamide, 4-methyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



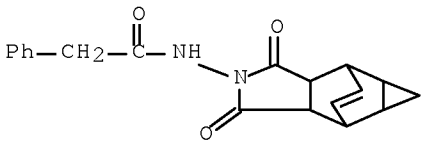
RN 342417-37-2 CAPLUS
CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



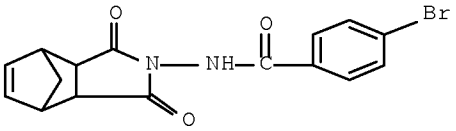
RN 342417-62-3 CAPLUS
CN Benzamide, 3-bromo-N-(1',3',3'a,4',7',7'a-hexahydro-1',3'-dioxospiro[cyclopropane-1,8'-[4,7]methano[2H]isoindol]-2'-yl)- (9CI) (CA INDEX NAME)



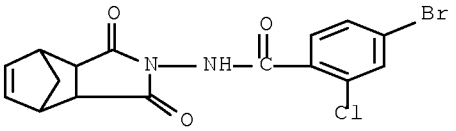
RN 342417-72-5 CAPLUS
CN Benzeneacetamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



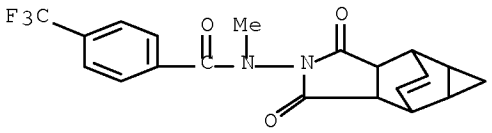
RN 342608-72-4 CAPLUS
CN Benzamide, 4-bromo-N-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl)- (CA INDEX NAME)



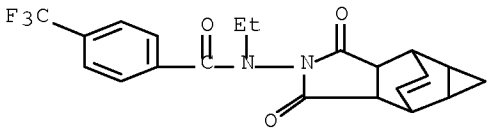
RN 816458-44-3 CAPLUS
CN Benzamide, 4-bromo-2-chloro-N-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl)- (CA INDEX NAME)



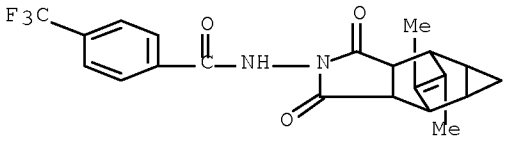
RN 816458-47-6 CAPLUS
CN Benzamide, N-methyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



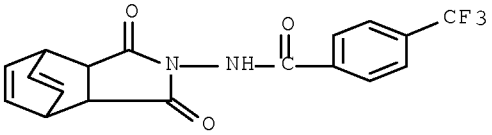
RN 816458-48-7 CAPLUS
CN Benzamide, N-ethyl-N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



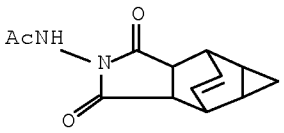
RN 816458-49-8 CAPLUS
CN Benzamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-7,8-dimethyl-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



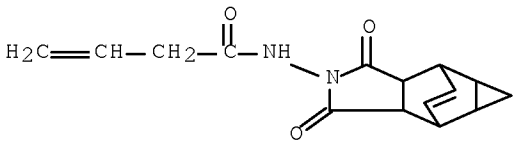
RN 816458-50-1 CAPLUS
CN Benzamide, N-(1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-etheno-2H-isoindol-2-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



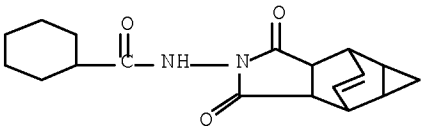
RN 816458-51-2 CAPLUS
CN Acetamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



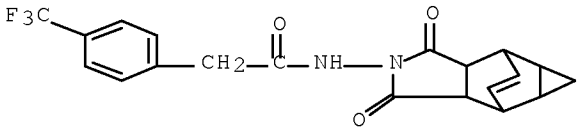
RN 816458-52-3 CAPLUS
CN 3-Butenamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



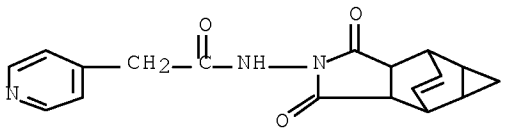
RN 816458-53-4 CAPLUS
CN Cyclohexanecarboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)



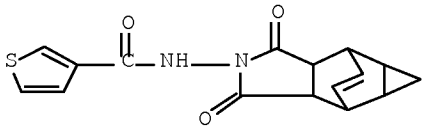
RN 816458-54-5 CAPLUS
CN Benzeneacetamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 816458-55-6 CAPLUS
CN 4-Pyridineacetamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)

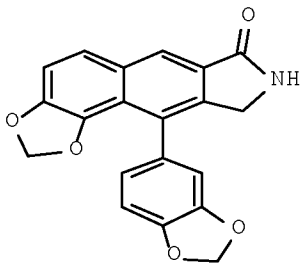


RN 816458-56-7 CAPLUS
CN 3-Thiophenecarboxamide, N-(3,3a,4,4a,5,5a,6,6a-octahydro-1,3-dioxo-4,6-ethenocycloprop[f]isoindol-2(1H)-yl)- (CA INDEX NAME)

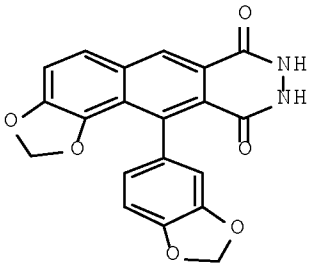


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1141796 CAPLUS Full-text
DOCUMENT NUMBER: 142:219077
TITLE: Synthesis and Antiviral Activity of Helioxanthin Analogues
AUTHOR(S): Yeo, Hosup; Li, Ying; Fu, Lei; Zhu, Ju-Liang; Gullen, Elizabeth A.; Dutschman, Ginger E.; Lee, Yashang; Chung, Raymond; Huang, Eng-Shang; Austin, David J.; Cheng, Yung-Chi
CORPORATE SOURCE: Department of Pharmacology, Yale University School of Medicine and Department of Chemistry, Yale University, New Haven, CT, 06520, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(2), 534-546
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:219077
GI



I



II

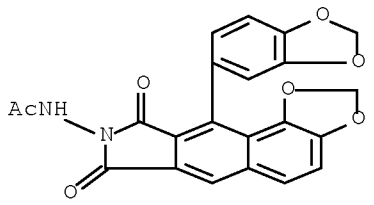
AB A series of natural product analogs based on helioxanthin, with particular attention to modification of the lactone ring and methylenedioxy group, were synthesized and evaluated for their antiviral activities. Among them, lactam derivative I and helioxanthin cyclic hydrazide II exhibited significant in vitro antiviral activity against hepatitis B virus (EC50 = 0.08 and 0.03 μM, resp.). Compound I showed the most potent antiviral activity against hepatitis C virus (55% inhibition at 1.0 μM). An acid-hydrolyzed product of helioxanthin cyclic imide derivative was found to exhibit broad-spectrum antiviral activity against hepatitis B virus (EC50 = 0.8 μM), herpes simplex virus type 1 (EC50 = 0.15 μM) and type 2 (EC50 < 0.1 μM), Epstein-Barr virus (EC50 = 9.0 μM), and cytomegalovirus (EC50 = 0.45 μM). Helioxanthin lactam

derivative I also showed marked inhibition of herpes simplex virus type 1 (EC50 = 0.29 μM) and type 2 (EC50 = 0.16 μM). The cyclic hydrazide derivative of helioxanthin II and its brominated product exhibited moderately potent activities against human immunodeficiency virus (EC50 = 2.7 and 2.5 μM, resp.). Collectively, these mols. represent a novel set of antiviral compds. with unique structural features.

IT 840529-10-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of helioxanthin analogs)

RN 840529-10-4 CAPLUS

CN Acetamide, N-[10-(1,3-benzodioxol-5-yl)-7,9-dihydro-7,9-dioxo-8H-1,3-dioxolo[3,4]benz[1,2-f]isoindol-8-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:172499 CAPLUS Full-text

DOCUMENT NUMBER: 130:305997

TITLE: In vivo metabolism of a new anticancer agent, 6-N-formylamino-12,13-dihydro-1, 11-dihydroxy-13-(β-D-glucopyranosil) 5H-indolo [2,3-A]pyrrolo [3,4-C]carbazole-5, 7(6H)-dione (NB-506) in rats and dogs: pharmacokinetics, isolation, identification, and quantification of metabolites

AUTHOR(S): Takenaga, N.; Ishii, M.; Nakajima, S.; Hasegawa, T.; Iwasa, R.; Ishizaki, H.; Kamei, T.

CORPORATE SOURCE: Drug Metabolism, Development Research Laboratories, Banyu Pharmaceutical Co., Ltd., Saitama, 360-0214, Japan

SOURCE: Drug Metabolism and Disposition (1999), 27(2), 205-212
CODEN: DMDSAI; ISSN: 0090-9556

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 6-N-formylamino-12,13-dihydro-1,11-dihydroxy-13-(β-D- glucopyranosil)5H-indolo [2,3-a]pyrrolo [3,4-c]carbazole-5,7(6H)-dione (NB-506), a potent inhibitor of DNA topoisomerase I, is currently under development for the treatment of cancer. We investigated the pharmacokinetics of NB-506 after i.v. administration in rats and dogs. The plasma concentration of NB-506 decreased biexponentially in rats and dogs with terminal half-lives of approx. 2 h. The

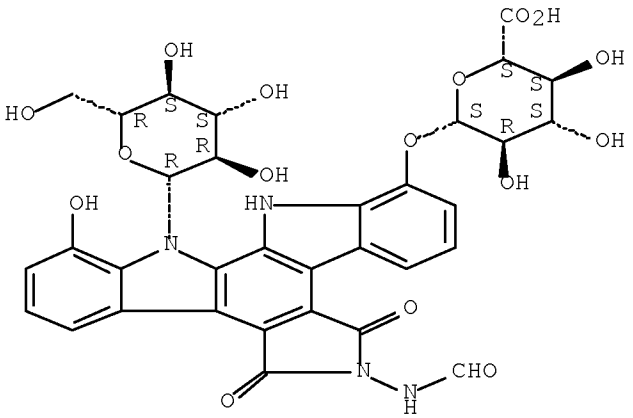
area under the curve increased nonlinearly with increasing dose in rats. In contrast, there was a linear relationship between the area under the curve and the dose in dogs. In rats, the plasma clearance decreased with increasing dose up to 187.5 mg/m2 but remained virtually unchanged at the highest dose. The Vdss of NB-506 in rats and dogs was much greater than the plasma volume, indicating that NB-506 is highly distributed to tissue from plasma in these animals. There were marked species differences in the plasma concns. of ED-501 after i.v. administration of NB-506 to rats and dogs. To better understand the mechanisms of nonlinear pharmacokinetics in rats, in vivo metabolites were determined After i.v. administration of [14C]NB-506 to rats, two unknown metabolites (RBM-1 and RBM-2), deformyl metabolite (ED-501), and unchanged drug (NB-506) were identified. Mass and NMR spectra anal. revealed that RBM-1 is an 11-O-glucuronide of NB-506 (ED-594) and that RBM-2 is an 11-O-glucuronide of ED-501 (ED-595). In this study, the pharmacokinetics of NB-506 was demonstrated to be nonlinear in rats, probably because of saturation of the enzyme systems catalyzing the deformylation and glucuronidation of NB-506 in rats.

IT 217187-87-6, ED 594
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence)
(in vivo metabolism of a new anticancer agent NB-506 in rats and dogs)

RN 217187-87-6 CAPLUS

CN β-D-Glucopyranosiduronic acid, 6-(formylamino)-12-β-D-glucopyranosyl-6,7,12,13-tetrahydro-11-hydroxy-5,7-dioxo-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-1-yl (CA INDEX NAME)

Absolute stereochemistry.

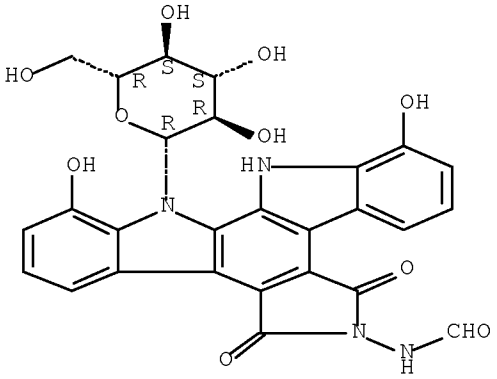


IT 151069-12-4, NB-506
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(in vivo metabolism of a new anticancer agent NB-506 in rats and dogs)

RN 151069-12-4 CAPLUS

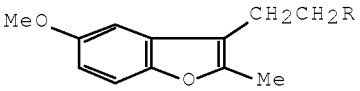
CN Formamide, N-(12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-1,11-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl)- (CA INDEX NAME)

Absolute stereochemistry.



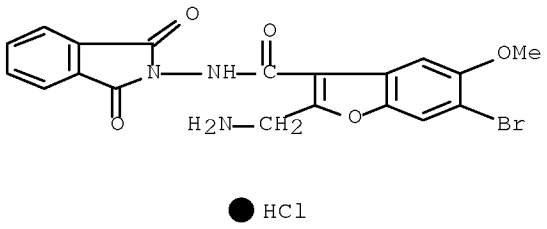
OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:614340 CAPLUS Full-text
DOCUMENT NUMBER: 111:214340
ORIGINAL REFERENCE NO.: 111:35545a,35548a
TITLE: Synthesis and antiviral activity of 5-methoxybenzofuran derivatives
AUTHOR(S): Zotova, S. A.; Nikolaeva, I. S.; Il'ina, M. G.; Fomina, A. N.
CORPORATE SOURCE: VNIKhFI, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1989), 23(2), 191-5
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 111:214340
GI



I

AB The title compds. I (R = CO2Et, CONHNH2, CONHCH2CH2OH, CONEt2, CONH2, CH2NHCH2CH2OH, CH2NEt2, CH2NH2 and others) were prepared as potential virucides. I (R = CONH2, CH2NH2, NHCO2Et) inhibit replicating virus VEL in cultures at 5.0 and 2.5 μg/mL.
IT 123647-75-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 123647-75-6 CAPLUS
CN 3-Benzofurancarboxamide, 2-(aminomethyl)-6-bromo-N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-5-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
STN INTERNATIONAL LOGOFF AT 12:26:32 ON 03 MAR 2010